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* STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:49:11 ON 02 DEC 2009

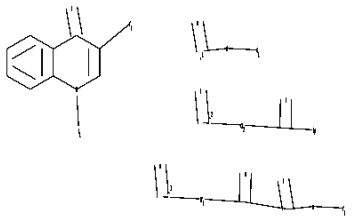
=>
=> file reg

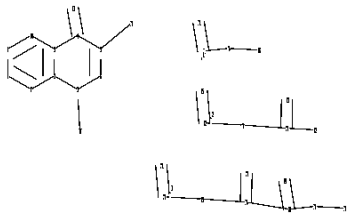
http://www.cas.org/support/stngen/stndoc/properties.html

=> d l1
NO L# DEFINED

There are no L# queries, structures, or screen sets
defined in the current session.

=>
Uploading C:\Program Files\Stnexp\Queries\10591679.str





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chain nodes :
11  12  13  14  15  16  18  19  20  21  22  23  24  25  26  27  28  29  30  31  36
37
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
1-37  4-13  5-36  11-14  11-16  12-15  12-19  16-18  19-20  20-21  20-22  23-24
23-25  25-26  26-27  26-28  28-29  28-30  30-31
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10
exact/norm bonds :
1-2  1-6  1-37  3-4  4-5  4-13  5-6  5-36  11-14  11-16  12-15  16-18  20-21  20-22
 23-24  26-27  28-29  28-30  30-31
exact bonds :
12-19  19-20  23-25  25-26  26-28
normalized bonds :
2-3  2-7  3-10  7-8  8-9  9-10
isolated ring systems :
containing 1 :


G1:H,Ak

G2:[*1],[*2],[*3]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  18:CLASS  19:CLASS
20:CLASS  21:CLASS  22:Atom  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS
28:CLASS  29:CLASS  30:CLASS  31:CLASS  36:CLASS  37:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sam
SAMPLE SEARCH INITIATED 12:49:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2906 TO ITERATE

 68.8% PROCESSED     2000 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        54887 TO    61353
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PROJECTED ANSWERS: 9769 TO 12607

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
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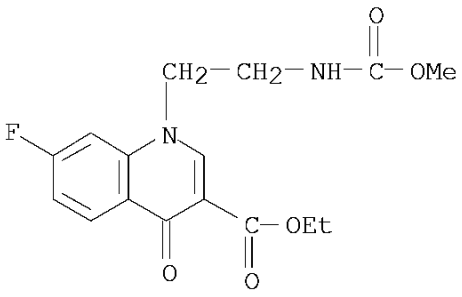


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 full
FULL SEARCH INITIATED 12:49:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 58488 TO ITERATE

100.0% PROCESSED 58488 ITERATIONS 12263 ANSWERS
SEARCH TIME: 00.00.02

L3 12263 SEA SSS FUL L1

=> file ca
ormation Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 10809 L3

=> s l4 and (HIV or AIDS)
81399 HIV
78530 AIDS
L5 212 L4 AND (HIV OR AIDS)

=> s l5 and py<2004
22822429 PY<2004

L6 63 L5 AND PY<2004

=> d ibib abs fhitstr hitrn 1-63

L6 ANSWER 1 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:258964 CA
TITLE: Method for augmentation of intraepithelial and systemic exposure of therapeutic agents having substrate activity for cytochrome p450 enzymes and membrane efflux systems following vaginal and oral cavity administration
INVENTOR(S): Pauletti, Giovanni M.; Harrison, Donald C.; Desai, Kishorkumar J.
PATENT ASSIGNEE(S): Histogenics Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S. Ser. No. 208,209.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070036834	A1	20070215	US 2006-522126	20060915
AU 765269	B2	20030911	AU 2001-54192	20010703 <--
US 20030049302	A1	20030313	US 2002-226667	20020821 <--
US 6982091	B2	20060103		
US 20060002966	A1	20060105	US 2005-208209	20050818
AU 2006292507	A1	20070329	AU 2006-292507	20060915
CA 2622746	A1	20070329	CA 2006-2622746	20060915
WO 2007035515	A2	20070329	WO 2006-US36087	20060915
WO 2007035515	A3	20070927		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1948103	A2	20080730	EP 2006-824976	20060915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009508869	T	20090305	JP 2008-531372	20060915

PRIORITY APPLN. INFO.:

US 2001-315877P	P	20010829
US 2002-226667	A1	20020821
US 2005-208209	A2	20050818
US 2005-717680P	P	20050915
AU 1998-76976	A3	19980610
WO 2006-US36087	W	20060915

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The present invention relates to a method for augmentation of epithelial

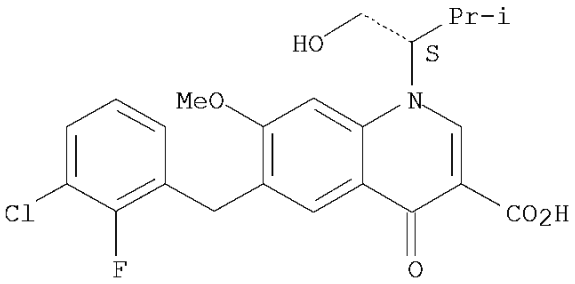
concentration and systemic exposure of therapeutic agents having a substrate affinity for cytochrome P 450 enzymes and membrane efflux transporter systems by using a vaginal or buccal drug delivery compns. and/or devices. Specifically, the invention relates to a method for augmentation of intraepithelial concentration and/or systemic bioavailability for delivery of anti-viral and/or anti-cancer therapeutic agents having a substrate affinity for cytochrome P 450 enzymes and membrane efflux systems by using a vaginal or buccal drug delivery of these drugs into the systemic circulation by delivering such drug to a subject in need thereof vaginally or buccally in an especially formulated composition increasing the drug's bioavailability by providing means for increasing the drug solubility and permeability through the vaginal or buccal mucosa.

IT 697761-98-1, JTK-303
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for augmentation of intraepithelial and systemic exposure of therapeutic agents having substrate activity for cytochrome P 450 enzymes and membrane efflux systems following vaginal and oral cavity administration)

RN 697761-98-1 CA

CN 3-Quinolinecarboxylic acid, 6-[(3-chloro-2-fluorophenyl)methyl]-1,4-dihydro-1-[(1S)-1-(hydroxymethyl)-2-methylpropyl]-7-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 697761-98-1, JTK-303
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for augmentation of intraepithelial and systemic exposure of therapeutic agents having substrate activity for cytochrome P 450 enzymes and membrane efflux systems following vaginal and oral cavity administration)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 2 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 144:57525 CA
TITLE: Coated vaginal devices for vaginal delivery of therapeutically effective and/or health-promoting agents
INVENTOR(S): Wilson, Michelle; Desai, Kishorkumar J.; Pauletti, Giovanni M.; Antoon, Mitchell K.; Clendening, Chris E.
PATENT ASSIGNEE(S): UMD, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 126,863
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 20050276836	A1	20051215	US 2005-180076	20050712	
US 6197327	B1	20010306	US 1998-79897	19980515	<--
US 6086909	A	20000711	US 1999-249963	19990212	<--
US 6572874	B1	20030603	US 2000-626025	20000727	<--
NZ 508130	A	20020301	NZ 2000-508130	20001113	<--
AU 765269	B2	20030911	AU 2001-54192	20010703	<--
US 20030049302	A1	20030313	US 2002-226667	20020821	<--
US 6982091	B2	20060103			
US 20040005345	A1	20040108	US 2003-349029	20030122	
US 6905701	B2	20050614			
US 20040043071	A1	20040304	US 2003-600849	20030620	
US 20050249774	A1	20051110	US 2005-126863	20050510	

PRIORITY APPLN. INFO.:

US 1997-49325P	P	19970611
US 1998-79897	A2	19980515
US 1999-249963	A2	19990212
US 2000-626025	A2	20000727
US 2002-226667	A2	20020821
US 2003-349029	A2	20030122
US 2003-600849	A2	20030620
US 2004-587454P	P	20040712
US 2005-126863	A2	20050510
AU 1998-76976	A3	19980610
NZ 1998-502120	A1	19980610
US 1999-146218P	P	19990728
US 2001-315877P	P	20010829
US 2002-390748P	P	20020621

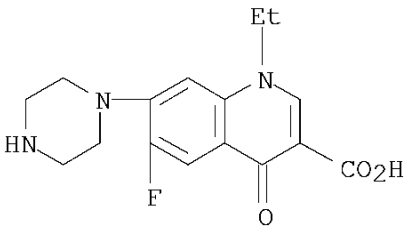
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Disclosed is a vaginal device for delivering therapeutical and/or health-promoting agents. The vaginal device partly or completely coated by, covered by or combined with a coating or covering comprising a film, foam, strip, cap, cup or particles. The coating of the device comprises a mucoadhesive composition comprising a therapeutical and/or health-promoting agent. For example, sumatriptan vaginal suppository were prepared from Suppocire AS2X, hydroxypropyl Me cellulose as a mucoadhesive agent, and Transcutol as a permeation enhancer.

IT 70458-96-7, Norfloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coated vaginal devices for vaginal delivery of therapeutically effective and/or health-promoting agents)

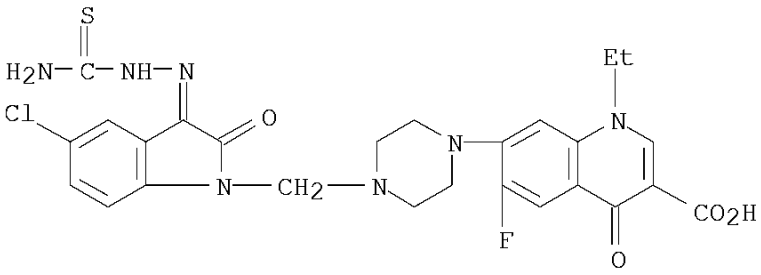
RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin 98079-51-7, Lomefloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coated vaginal devices for vaginal delivery of therapeutically effective and/or health-promoting agents)
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L6 ANSWER 3 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 140:191818 CA
TITLE: Biological activity of Mannich bases
AUTHOR(S): Pandeya, S. N.; Lakshmi, V. S.; Pandey, A.
CORPORATE SOURCE: Department of Pharmaceutics, Institute of Technology, Banaras Hindu University, Varanasi, 221 005, India
SOURCE: Indian Journal of Pharmaceutical Sciences (2003), 65(3), 213-222
CODEN: IJSIDW; ISSN: 0250-474X
PUBLISHER: Indian Pharmaceutical Association
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Mannich reaction involves condensation of a carbonyl compound with formaldehyde and a secondary amine. It is a mild procedure for obtaining unsatd. ketones (usually -CO-C=CH2). Mannich bases have been shown to display a number of therapeutic activities. Mannich bases derived from chalcones and 2-dimethyl amino Et benzo suberone methiodide have shown promise as anticancer agents.
1-Ethyl-6-fluoro-1,4-dihydro-4-oxo-7-[(N4-(5'-chloro-3'-thiosemicarbazono-isatin-1-yl)methyl)-N-piperazinyl]-3-quinoline carboxylic acid had been found to be more active than norfloxacin.
IT 238431-64-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biol. activities of Mannich bases)
RN 238431-64-6 CA
CN 3-Quinolinecarboxylic acid, 7-[4-[[3-[2-(aminothioxomethyl)hydrazinylidene]-5-chloro-2,3-dihydro-2-oxo-1H-indol-1-yl]methyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



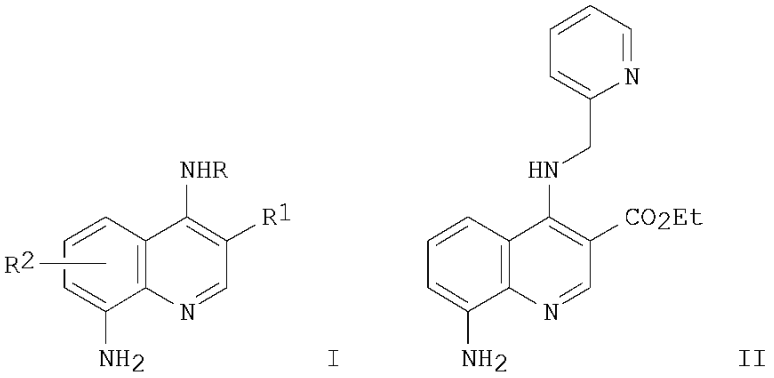
IT 238431-64-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biol. activities of Mannich bases)
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:381386 CA
TITLE: Quinoline derivatives as selective caspase-3 inhibitors, processes for their preparation, and pharmaceutical compositions comprising them
INVENTOR(S): Kim, Sung-Gyu; Jung, Yoon-Sung; Kong, Jae-Yang; Park, Woo-Kyu
PATENT ASSIGNEE(S): Yungjin Pharmaceutical Co., Ltd., S. Korea; Korea Research Institute of Chemical Technology
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093240	A1	20031113	WO 2003-KR875	20030430 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2003086417	A	20031110	KR 2003-27872	20030430 <--
CA 2484959	A1	20031113	CA 2003-2484959	20030430 <--
AU 2003224482	A1	20031117	AU 2003-224482	20030430 <--
EP 1499593	A1	20050126	EP 2003-721128	20030430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

CN 1662505	A	20050831	CN 2003-814411		20030430
CN 1304374	C	20070314			
JP 2005536462	T	20051202	JP 2004-501379		20030430
US 20040260094	A1	20041223	US 2004-493706		20040416
US 7009053	B2	20060307			
PRIORITY APPLN. INFO.:			KR 2002-23838	A	20020430
			WO 2003-KR875	W	20030430

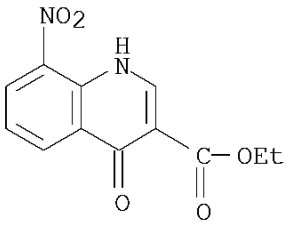
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:381386
GI



AB The invention relates to new quinoline derivs. I and their pharmaceutically acceptable salts, with caspase-3 inhibitory activity, and methods of their preparation [wherein: R = H, C6-14 aryl (optionally substituted by halo, C1-6 alkyl, C1-6 alkoxy or amino), 5- to 15-membered heterocyclic group (optionally substituted by halo, C1-6 alkyl, C1-6 alkoxy or amino), or (CH2)nCHR4R5; n = 0-4; R1 = -C(:O)-Y-A, cyano, or NHSO2(CH2)nC6H4R3; Y = O, N, S; A = H, (alkyl)alkenyl, (cyclo)alkyl, (un)substituted aryl, alkoxyalkyl, (hetero)aralkyl; R2 = H, halo, C1-6 alkyl, C 1-6 alkoxy, C1-6 alkoxyalkyl, or C3-6cycloalkyl; R3 = H, halo, (un)substituted amino, (cyclo)alkyl, alkoxy, alkoxyalkyl; R4 = H, alkyl, alkoxy, (un)substituted aryl, heteroaryl, (alkyl)heterocyclyl, hetero-fused aryl; R5 = H, alkyl, alkoxy, or alkoxyalkyl]. The invention also relates to pharmaceutical compns. for treating caspase-associated diseases, by inhibiting the activity of caspase-3, which comprises use of I or their pharmaceutically acceptable salts. Approx. 70 examples include syntheses of I, prepns. of various intermediates, and testing of selected compds. I against several caspases in vitro. For instance, EtOCH:C(CO2Et)2 was condensed with 2-nitroaniline to give an enamine (69%), which underwent internal cyclocondensation to give a quinolone ester (59%), followed by chlorination of the ketone to give a 4-chloroquinoline derivative (89%), and aminolysis of the chloride with 2-(aminomethyl)pyridine (85%), to give title compound II, a preferred compound In a fluorogenic assay against human recombinant caspase-3 in vitro, II gave 90.1% inhibition at 20 μM, vs. only 76.8% inhibition by a known reference compound (an isatin derivative). Another compound I showed substantial
selectivity for caspase-3, with IC50 values (μM) as follows: caspases 1: ≥200, 3: 5.6, 6: ≥200, 7: 14.7, and 8: ≥200.

IT 94110-86-8P, 8-Nitro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid

ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinoline derivs. as selective caspase-3 inhibitors)
RN 94110-86-8 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-8-nitro-4-oxo-, ethyl ester (CA INDEX NAME)



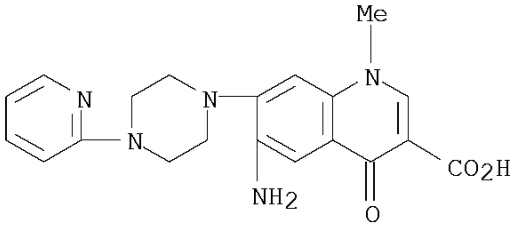
IT 94110-86-8P, 8-Nitro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinoline derivs. as selective caspase-3 inhibitors)
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:62682 CA
TITLE: New anti-human immunodeficiency virus type 1 6-aminoquinolones: Mechanism of action
AUTHOR(S): Parolin, Cristina; Gatto, Barbara; Del Vecchio, Claudia; Pecere, Teresa; Tramontano, Enzo; Cecchetti, Violetta; Fravolini, Arnaldo; Masiero, Sara; Palumbo, Manlio; Palu, Giorgio
CORPORATE SOURCE: Department of Histology, Microbiology and Medical Biotechnologies, Section of Microbiology and Virology, University of Padua, Padua, 35121, Italy
SOURCE: Antimicrobial Agents and Chemotherapy (2003), 47(3), 889-896
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A 6-aminoquinolone derivative, WM5, which bears a Me substituent at the N-1 position and a 4-(2-pyridyl)-1-piperazine moiety at position 7 of the bicyclic quinolone ring system, was previously shown to exhibit potent activity against replication of human immunodeficiency virus type 1 (HIV-1) in de novo-infected human lymphoblastoid cells. In this report, we further investigated WM5's mechanism of antiviral activity. WM5 inhibited HIV-1 replication in acutely infected cells as well as in chronically infected cells. The 50% inhibitory concns. were 0.60±0.06 and 0.85±0.05 μM, resp. When the effects of WM5 on different steps of the virus life cycle were analyzed, the reverse

transcriptase activity and the integrase and protease activities were not impaired. By using a transient trans-complementation assay to examine the activity of WM5 on the replicative potential of HIV-1 in a single round of infection, a sustained inhibition of Tat-mediated long terminal repeat (LTR)-driven transcription (>80% of controls) was obtained in the presence of 5 μM WM5. Interestingly, the aminoquinolone was found to efficiently complex TAR RNA, with a dissociation constant in the nanomolar range (19±0.6 nM). These data indicate that WM5 is a promising lead compound for the development of a new class of HIV -1 transcription inhibitors characterized by recognition of viral RNA target(s).

IT 304897-80-1, WM 5
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(WM 5; 6-aminoquinolone derivative WM5 inhibits HIV-1 transcription by binding to TAR RNA)

RN 304897-80-1 CA
CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)



IT 304897-80-1, WM 5
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(WM 5; 6-aminoquinolone derivative WM5 inhibits HIV-1 transcription by binding to TAR RNA)

OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:57934 CA
TITLE: Preparation of metal complexes and of rifamycin analog formulations containing metal salts
INVENTOR(S): Michaelis, Arthur F.; Maudling, Hawkins V.; Sayada, Chalom; Eisenstein, Barry
PATENT ASSIGNEE(S): Activbiotics, Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051300	A2	20030626	WO 2002-US39888	20021212 <--

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     WO 2003051300         A3    20031211
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002364562         A1    20030630    AU 2002-364562          20021212 <--
     US 20040014750        A1    20040122    US 2002-318998          20021212
     CA 2495144            A1    20040311    CA 2003-2495144         20030829
     AU 2003268330         A1    20040319    AU 2003-268330          20030829
     EP 1545453            A1    20050629    EP 2003-749288          20030829
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         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2006501310         T     20060112    JP 2004-569768          20030829
     WO 2004041158         A2    20040521    WO 2003-US29647         20030923
     WO 2004041158         A3    20040715
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             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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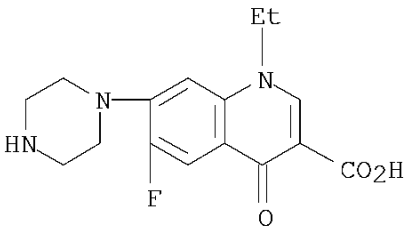
     AU 2003300780         A1    20040607    AU 2003-300780          20030923
     US 20040157840        A1    20040812    US 2003-668792          20030923
     AU 2009225281         A1    20091029    AU 2009-225281          20091012
PRIORITY APPLN. INFO.:                       US 2001-341591P      P  20011213
                                             US 2002-382805P      P  20020523
                                             US 2002-385532P      P  20020603
                                             US 2002-406873P      P  20020829
                                             US 2002-412958P      P  20020923
                                             WO 2002-US39888      W  20021212
                                             US 2003-444570P      P  20030203
                                             AU 2003-268330       A3 20030829
                                             WO 2003-US27305      W  20030829
                                             WO 2003-US29647      W  20030923
OTHER SOURCE(S):         MARPAT 139:57934
AB   The invention features compns. that include rifamycin analogs formulated
     with metal salts, metal complexes of rifamycin analogs, and methods for
     treating disease by using these compns.  Thus, a rifamycin S analog prepared
     by a series of reactions starting from a thiazole derivative  The drug had
     excellent antibacterial activity.
IT   70458-96-7, Norfloxacin
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (preparation of metal complexes and of rifamycin analog formulations
containing
        metal salts)
RN   70458-96-7  CA
```

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin 98079-51-7, Lomefloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of metal complexes and of rifamycin analog formulations containing metal salts)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 138:313963 CA

TITLE: Long-term culture of HIV-1-infected cells with the transcription inhibitor K-37

AUTHOR(S): Yamataka, Kazunobu; Wang, Xing; Baba, Masanori

CORPORATE SOURCE: Center for Chronic Viral Diseases Faculty of Medicine, Division of Human Retroviruses, Kagoshima University, Kagoshima, 890-8520, Japan

SOURCE: Antiviral Research (2002), 56(1), 85-92
CODEN: ARSRDR; ISSN: 0166-3542

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

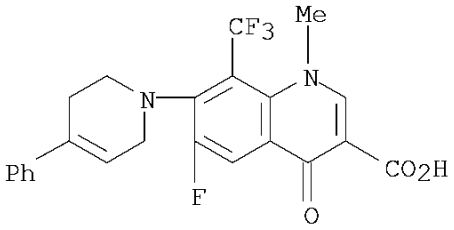
AB We have previously reported that the fluoroquinoline derivative K-37 is a potent and selective inhibitor of HIV-1 replication in both acutely and chronically infected cells. K-37 blocks the HIV-1 transcription process through the inhibition of still unknown cellular factor(s). To gain further insight into the target of K-37 for HIV-1 replication, we have conducted long-term culture of acutely infected cells in the presence of K-37. When MOLT-4 and U937 cells were infected with HIV-1 and cultured in the absence of K-37, the p24 antigen levels in the culture supernatants reached a plateau within 12 days. In the presence of K-37 (0.25 and 0.5 μM), the elevation of p24 antigen levels was delayed but reached a similar plateau level on day 16 or later. At a concentration of 1 μM, K-37 markedly suppressed HIV -1 replication. However, viral breakthrough was observed after 1 mo of the culture period in both MOLT-4 and U937 cells. We established MOLT-4 cell lines chronically infected with the breakthrough viruses (M1 and U1) or the corresponding wild-type strains (M0 and U0, resp.), and K-37 was examined for its inhibitory effects on HIV-1 replication in these cell lines. No substantial difference in anti-HIV-1 activity was observed between the two cell lines. However, acute infection expts. revealed that the infectivity of M1 and U1 was much lower than that of M0 and U0, resp. Furthermore, both M1 and U1 had a G to T nucleotide

mutation at position -215 in the second nuclear factor of activated T-cells-binding domain (-215 to -203) of the HIV-1 long terminal repeat.

IT 210647-56-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(long-term culture of HIV-1-infected cells with the transcription inhibitor K-37)

RN 210647-56-6 CA

CN 3-Quinolinecarboxylic acid, 7-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-6-fluoro-1,4-dihydro-1-methyl-4-oxo-8-(trifluoromethyl)- (CA INDEX NAME)



IT 210647-56-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(long-term culture of HIV-1-infected cells with the transcription inhibitor K-37)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 137:119045 CA

TITLE: Anti-HIV-1 Activities and Pharmacokinetics of New Arylpiperazinyl Fluoroquinolones

AUTHOR(S): Ohmine, Toshinori; Katsube, Tetsushi; Tsuzaki, Yasunori; Kazui, Miho; Kobayashi, Nobuhiro; Komai, Tomoaki; Hagihara, Masahiko; Nishigaki, Takashi; Iwamoto, Aikichi; Kimura, Tomio; Kashiwase, Hiroto; Yamashita, Makoto

CORPORATE SOURCE: Biological Research Laboratories, Sankyo Co., Ltd., Shinagawa-ku, Tokyo, 140-8710, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(5), 739-742
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

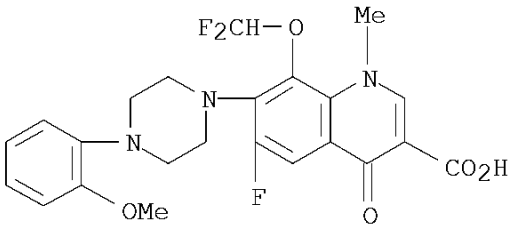
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Anti-HIV-1 activities and pharmacokinetics of a series of novel arylpiperazinyl fluoroquinolones are reported. Modification at the C-8 position with a trifluoromethyl group was superior to that with a difluoromethoxy group to achieve higher anti-HIV-1 activity. Two compds. studied exhibited quite high anti-HIV-1 activities (IC50<50 nM) in vitro and high bioavailabilities (BA>90%) in monkeys.

IT 153467-95-9
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-HIV-1 activities and pharmacokinetics of new arylpiperazinyl fluoroquinolones)
RN 153467-95-9 CA
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-1-methyl-4-oxo- (CA INDEX NAME)



IT 153467-95-9 153467-96-0 153468-00-9
153468-04-3 153468-15-6 153468-37-2
177360-40-6 177360-41-7 177360-42-8
177360-43-9 177360-44-0 177360-51-9
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-HIV-1 activities and pharmacokinetics of new arylpiperazinyl fluoroquinolones)
OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:113508 CA
TITLE: Methods and apparatus for applying medication of nasal sinuses
INVENTOR(S): Dyer, Gordon Wayne
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020098154	A1	20020725	US 2001-765894	20010120 <--
PRIORITY APPLN. INFO.:			US 2001-765894	20010120

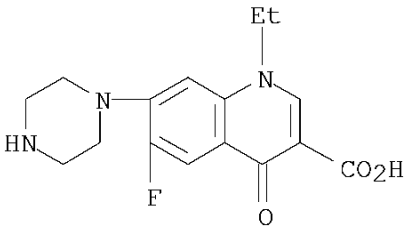
AB The present invention provides a method and accompanying apparatus for supplying medications, particularly antibiotics, to the deeper parts areas of the sinuses. The pressure of application from use of the Valsalva maneuver and the use of medications that are both H2O and fat-soluble aids the medications in penetrating deep into the sinuses. When the medication is an antibiotic, this has the benefit of delivering a high level of antibiosis using a line of antibiotics that the likely bacteria will not be as resistant to because they have not had as much prior exposure to this antibiotic. The lighter-than-air propellant aids in delivering the medication to those sinus areas superior to the nose.

If the infection extends to the eardrums, making the Valsalva maneuver painful, or if the patient is simply unusually sensitive, then earplugs to reduce the stress on the eardrums may be worn while the patient performs the Valsalva maneuver.

IT 70458-96-7, Norfloxacin
RL: EPR (Engineering process); NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); TEM (Technical or engineered material use); PROC (Process); USES (Uses)
(methods and apparatus for applying medication of nasal sinuses)

RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: EPR (Engineering process); NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); TEM (Technical or engineered material use); PROC (Process); USES (Uses)
(methods and apparatus for applying medication of nasal sinuses)

L6 ANSWER 10 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 136:256859 CA

TITLE: Nonmyeloablative conditioning followed by transplantation of genetically modified HLA-matched peripheral blood progenitor cells for hematologic malignancies in patients with acquired immunodeficiency syndrome

AUTHOR(S): Kang, Elizabeth M.; De Witte, Moniek; Malech, Harry; Morgan, Richard A.; Phang, Sheila; Carter, Charles; Leitman, Susan F.; Childs, Richard; Barrett, A. John; Little, Richard; Tisdale, John F.

CORPORATE SOURCE: Molecular and Clinical Hematology Branch, National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, MD, 20892, USA

SOURCE: Blood (2002), 99(2), 698-701
CODEN: BLOOAW; ISSN: 0006-4971

PUBLISHER: American Society of Hematology

DOCUMENT TYPE: Journal

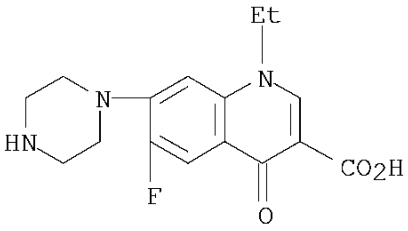
LANGUAGE: English

AB To assess the safety and efficacy of nonmyeloablative allogeneic transplantation in patients with HIV infection, a clin. protocol was initiated in patients with refractory hematol. malignancies and concomitant HIV infection. The results from the first 2 patients are reported. The indications for transplantation were treatment-related acute myelogenous leukemia and primary refractory Hodgkin disease in patients 1 and 2, resp. Only patient 1 received genetically modified cells. Both patients tolerated the procedure well

with minimal toxicity, and complete remissions were achieved in both patients, but patient 2 died of relapsed Hodgkin disease 12 mo after transplantation. Patient 1 continues in complete remission with undetectable HIV levels and rising CD4 counts, and with both the therapeutic and control gene transfer vectors remaining detectable at low levels more than 2 yr after transplantation. These results suggest that nonmyeloablative allogeneic transplantation in the context of highly active antiretroviral therapy is feasible in patients with treatment-sensitive HIV infection.

IT 70458-96-7, Norfloxacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hematol. malignancies in patients with AIDS: nonmyeloablative conditioning followed by transplantation of genetically modified HLA-matched peripheral blood progenitor cells)

RN 70458-96-7 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hematol. malignancies in patients with AIDS: nonmyeloablative conditioning followed by transplantation of genetically modified HLA-matched peripheral blood progenitor cells)

OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:232324 CA
TITLE: Preparation of antiviral and antimicrobial substituted guanidines or biguanidines
INVENTOR(S): Shetty, B. Vithal
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002017916	A1	20020307	WO 2001-US26150	20010822 <--

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6699989	B1	20040302	US 2000-649014	20000828
AU 2001086604	A	20020313	AU 2001-86604	20010822 <--
EP 1406619	A1	20040414	EP 2001-966061	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040132993	A1	20040708	US 2003-720441	20031125
PRIORITY APPLN. INFO.:			US 2000-649014	A1 20000828
			WO 2001-US26150	W 20010822

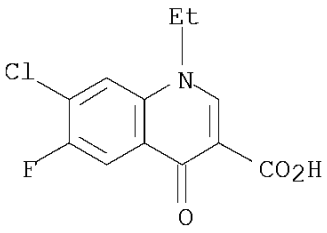
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:232324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Guanidine and biguanidine derivs. of formulas I-V [X = B or CRB; R = H or alkyl and B = (un)substituted alkyl, alkyl-X1-alkyl where X1 = O, S, sulfoxide, tris(2-aminoethyl)amine, N optionally substituted with NHC(NH)NHC(NH)A, (un)substituted heterocycle, (un)substituted-aryl, -cyclohexane, etc.; A = independently H, CN, amino, quinolone, azaquinolone, morpholine, (un)substituted piperazine, (un)substituted aminoadamantane, etc.; Z = C(NH)NHC(NH)A; X2 = (un)substituted-alkyl, -aryl, -heterocycle, or bond; X3 = (CH2)n where n = 1-5; Y1 and Y2 independently = (un)substituted-alkyl, -aryl, -heterocycle, or bond; T = H, alkyl, (un)substituted-aryl, -heterocycle; m = 0-12; p = 0-8] are prepared and disclosed as anti-viral and anti-bacterial agents. Thus, VI was prepared via substitution of 7-chloro-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-quinoline carboxylic acid with piperazine and subsequent addition to hexamethylene bis(cyanoguanidine). VI was found active against HIV at concns. greater than 3.2μg/mL in peripheral blood mononuclear cell assay. Also disclosed are pharmaceutical compns. containing I-V as an active ingredient, and anti-viral and anti-bacterial methods utilizing such compds.

IT 68077-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of antiviral and antimicrobial substituted guanidine or biguanidines)

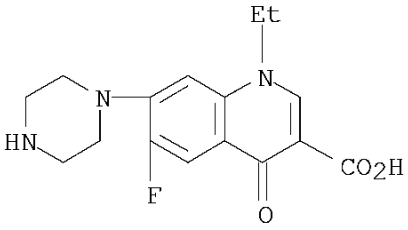
RN 68077-26-9 CA
CN 3-Quinolinecarboxylic acid, 7-chloro-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 68077-26-9P 70458-94-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of antiviral and antimicrobial substituted guanidine or biguanidines)
IT 402929-95-7P 402929-97-9P 402930-00-1P
402930-05-6P 402930-14-7P 402930-30-7P
402930-35-2P 402930-37-4P 402930-43-2P
402930-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of antiviral and antimicrobial substituted guanidine or biguanidines)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:130705 CA
TITLE: An approach for visualization of the active site of enzymes with unknown three-dimensional structures
AUTHOR(S): Veselovsky, A. V.; Tikhonova, O. V.; Skvortsov, V. S.; Medvedev, A. E.; Ivanov, A. S.
CORPORATE SOURCE: Laboratory of Molecular Graphics Drug Design, Institute of Biomedical Chemistry, Russian Academy of Medical Sciences, Moscow, 119832, Russia
SOURCE: SAR and QSAR in Environmental Research (2001), 12(4), 345-358
CODEN: SQERED; ISSN: 1062-936X
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new approach for virtual characterization of the active site structure of enzymes with unknown three-dimensional (3D) structure has been proposed. It includes anal. of data on enzyme interaction with reversible competitive inhibitors, their 3D structures and molding of the substrate-binding region. The superposition of ligands in biol. active conformations allows to determine the shape and dimension of the active site cavity accommodating these compds. Monoamine oxidase A (MAO-A), a "typical" enzyme with unknown spatial organization, was used to test this method. The correctness of such approach was validated by the anal. of HIV protease interaction with its inhibitors using 3D structures of their complexes. Mold of the substrate/inhibitor binding site can be used for the visualization of this binding site and for searching new

ligands in mol. databases.
IT 70458-96-7, Norfloxacin
RL: PRP (Properties)
(QSAR approach for visualization of active site of enzymes with unknown three-dimensional structures using reversible competitive inhibitors docking to substrate site)
RN 70458-96-7 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: PRP (Properties)
(QSAR approach for visualization of active site of enzymes with unknown three-dimensional structures using reversible competitive inhibitors docking to substrate site)
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:123678 CA
TITLE: Enhancement of the action of anti-infective agents using an administration medium containing nitrous oxide
INVENTOR(S): Meyer, Petrus Johannes
PATENT ASSIGNEE(S): Pitmy International N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005850	A2	20020124	WO 2001-ZA98	20010719 <--
WO 2002005850	A3	20030109		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

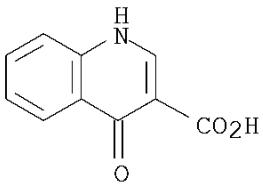
CA 2416512 A1 20020124 CA 2001-2416512 20010719 <--
ZA 2003000366 A 20040629 ZA 2003-366 20030114
PRIORITY APPLN. INFO.: ZA 2000-3644 A 20000719
WO 2001-ZA98 W 20010719

AB The invention provides a method of enhancing the action of anti-infective agents, i.e., antimicrobial agents, anthelmintics, and anti-ectoparasitic agents, but excluding coal tar solution and H1-antagonist antihistamines, characterized in that the agent is formulated with an administration medium which comprises a solution of nitrous oxide gas in a pharmaceutically acceptable carrier solvent for the gas. The administration medium includes at least one fatty acid or ester or other suitable derivative thereof selected from the group consisting of oleic acid, linoleic acid, α-linolenic acid, γ-linolenic acid, arachidonic acid, eicosapentaenoic acid [C20: 5ω3], docosahexaenoic acid [C22: 6ω3], ricinoleic acid and derivs. thereof selected from the group consisting of the C1-6 alkyl esters, the glycerol-polyethylene glycol esters and the reaction product of hydrogenated natural oils composed largely of ricinoleic acid-based oils, such as castor oil with ethylene oxide. For example, an aqueous emulsion was prepared by mixing 30 g vitamin F Et ester with 10 g Cremophor RH40, 2.2 g Me paraben, 0.08 g Bu hydroxyanisole, and 0.23 g Bu hydroxytoluene. Into 942.5 g of the stock nitrous oxide aqueous solution, 2.5 g sodium Pr paraben and 2.5 g Germall 115 were added with stirring at room temperature The oily composition was then emulsified into the aqueous solution to obtain a nanolipid vesicle formulation. A non-aqueous solution of nitrous oxide in carrier formulation was also prepared
Polyoxyl hydrogenated castor oil (1.15 kg) was mixed with 2.35 kg vitamin F Et ester, 150.0 g α-tocopherol, and 1.295 kg PEG 400 at 40°. The oily mixture was gassed with nitrous oxide for 3 h at 2 bar and then heated at 70°. To the heated gas-oil mixture, 50.0 g Me paraben and 5.0 g butylated hydroxytoluene were added and the mixture was allowed to cool down. When the mixture was cooled down to approx. 40°, 5.00 kg pyrazinamide (particle size <40 μm) was added while continuously mixing and the mixture was addnl. gassed with nitrous oxide at 20 kPa for 30 min. After the mixture was cooled down to reach room temperature,
it was encapsulated in soft gel capsules. Encapsulation of pyrazinamide in lipid vesicles led to a 65-70% decrease in BCG (bacillus Calmette-Guerin) viability within a 2-h incubation with no moving BCG observed, while the incubation with free pyrazinamide resulted in the appearance of single live bacteria with a few granuloma-type clumps, which gradually secreted single live bacteria.

IT 13721-01-2D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipid vehicles containing nitrous oxide for enhancement of activity of anti-infective agents)

RN 13721-01-2 CA

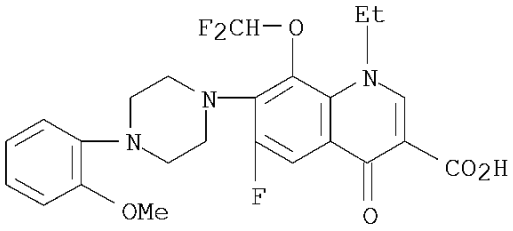
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, derivs. 40034-42-2, Acrosoxacin
70458-92-3, Pefloxacin 70458-96-7, Norfloxacin
79660-72-3, Fleroxacin 98079-51-7, Lomefloxacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipid vehicles containing nitrous oxide for enhancement of activity of anti-infective agents)
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

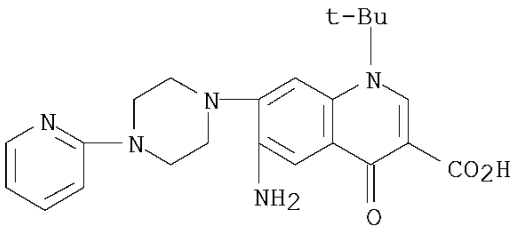
L6 ANSWER 14 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:338682 CA
TITLE: A quantitative GFP-based bioassay for the detection of HIV-1 Tat transactivation inhibitors
AUTHOR(S): Daelemans, D.; De Clercq, E.; Vandamme, A.-M.
CORPORATE SOURCE: Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, 3000, Belg.
SOURCE: Journal of Virological Methods (2001), 96(2), 183-188
CODEN: JVMEDH; ISSN: 0166-0934
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The Tat function of the human immunodeficiency virus (HIV) represents an important target for the development of new anti-HIV drugs. A rapid, sensitive and simple bioassay was developed for the detection of HIV transactivation inhibitors. A reporter plasmid based on the expression of the green fluorescent protein (GFP) under control of the HIV-1 long terminal repeat (LTR) was constructed. This reporter gene can be quantified by simply measuring the fluorescence irradiated by GFP-producing cells, without the need of extraction procedures or enzymic assays. Cells, stably expressing HIV-1 Tat protein, were transfected with this plasmid and the inhibitory effect of anti-Tat drugs was assessed by measuring the inhibition of fluorescence. Using this assay system the anti-transactivation activity of several known compds. was confirmed. This is the first HIV transactivation assay using GFP reporter gene in microtiter plates. The assay can be used for the detection and quantification of HIV transactivation, and for the high throughput evaluation of anti-transactivation drugs in different cellular backgrounds.
IT 153468-00-9
RL: ANT (Analyte); ANST (Analytical study)
(quant. GFP-based bioassay for detection of HIV-1 Tat transactivation inhibitors)
RN 153468-00-9 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxo- (CA INDEX NAME)



IT 153468-00-9
RL: ANT (Analyte); ANST (Analytical study)
(quant. GFP-based bioassay for detection of HIV-1 Tat transactivation inhibitors)
OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:40427 CA
TITLE: QSAR study and VolSurf characterization of anti-HIV quinolone library
AUTHOR(S): Filipponi, Enrica; Cruciani, Gabriele; Tabarrini, Oriana; Cecchetti, Violetta; Fravolini, Arnaldo
CORPORATE SOURCE: Dipartimento di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy
SOURCE: Journal of Computer-Aided Molecular Design (2001), 15(3), 203-217
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Antiviral quinolones are promising compds. in the search for new therapeutically effective agents for the treatment of AIDS. To rationalize the SAR for this new interesting class of anti-HIV derivs., we performed a 3D-QSAR study on a library of 101 6-fluoro and 6-desfluoroquinolones, taken either from the literature or synthesized by us. The chemometric procedure involved a fully semiempirical minimization of the mol. structures by the AMSOL program, which takes into account the solvation effect, and their 3D characterization by the VolSurf/GRID program. The QSAR anal., based on PCA and PLS methods, shows the key structural features responsible for the antiviral activity.
IT 148927-34-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR study and VolSurf characterization of anti-HIV quinolone library)
RN 148927-34-8 CA
CN 3-Quinolinecarboxylic acid, 6-amino-1-(1,1-dimethylethyl)-1,4-dihydro-4-oxo-7-[4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)



IT 148927-34-8 153467-95-9 153467-96-0
153468-00-9 153468-01-0 153468-09-8
153468-10-1 153468-37-2 153468-63-4
153468-64-5 153468-67-8 210647-56-6
210647-57-7 304897-74-3 304897-76-5
304897-80-1 304897-82-3 304897-84-5
304897-85-6 344953-85-1 344953-87-3
344953-89-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR study and VolSurf characterization of anti-HIV quinolone library)

OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 134:212694 CA
TITLE: Compositions and methods for enhancing drug delivery across and into epithelial tissues
INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.
PATENT ASSIGNEE(S): Cellgate, Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001013957	A2	20010301	WO 2000-US23440	20000824 <--
WO 2001013957	A3	20011004		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2381425	A1	20010301	CA 2000-2381425	20000824 <--

AU 2000069394	A	20010319	AU 2000-69394	20000824 <--
AU 769315	B2	20040122		
EP 1210121	A2	20020605	EP 2000-957830	20000824 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507438	T	20030225	JP 2001-518092	20000824 <--
US 6730293	B1	20040504	US 2000-645689	20000824
MX 2002001857	A	20030714	MX 2002-1857	20020221 <--

PRIORITY APPLN. INFO.: US 1999-150510P P 19990824
WO 2000-US23440 W 20000824

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

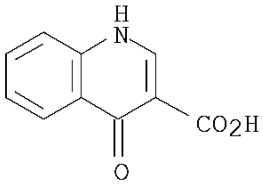
OTHER SOURCE(S): MARPAT 134:212694

AB This invention provides compns. and methods for enhancing delivery of drugs and other agents across epithelial tissues, including the skin, gastrointestinal tract, pulmonary epithelium, and the like. The compns. and methods are also useful for delivery across endothelial tissues, including the blood brain barrier. The compns. and methods employ a delivery-enhancing transport that has sufficient guanidino or amidino sidechain moieties to enhance delivery of a compound conjugated to the reagent across one or more layers of the tissue, compared to the non-conjugated compound The delivery enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length.

IT 13721-01-2D, derivs., antibiotics
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Quinolone antibiotics; compns. and methods for enhancing drug delivery across and into epithelial tissues)

RN 13721-01-2 CA

CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, derivs., antibiotics
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Quinolone antibiotics; compns. and methods for enhancing drug delivery across and into epithelial tissues)

OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 63 CA COPYRIGHT 2009 ACS on STN

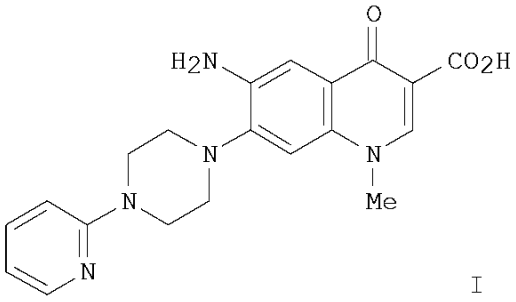
ACCESSION NUMBER: 133:344181 CA

TITLE: 6-Aminoquinolones as New Potential Anti-HIV Agents

AUTHOR(S): Cecchetti, Violetta; Parolin, Cristina; Moro, Stefano; Pecere, Teresa; Filipponi, Enrica; Calistri, Arianna; Tabarrini, Oriana; Gatto, Barbara; Palumbo, Manlio; Fravolini, Arnaldo; Palu, Giorgio

CORPORATE SOURCE: Dipartimento di Chimica e Tecnologia del Farmaco,

University of Perugia, Perugia, 06123, Italy
SOURCE: Journal of Medicinal Chemistry (2000), 43(20), 3799-3802
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344181
GI



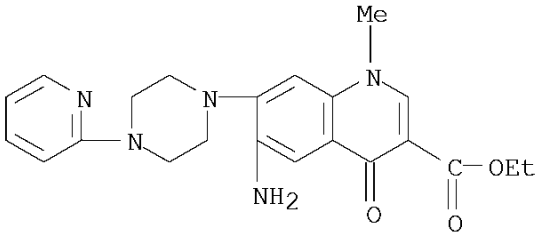
I

AB A series of 6-aminoquinolone compds. were evaluated for their in vitro activity against human immunodeficiency virus type 1 (HIV-1). Compound I, bearing a Me substituent at the N-1 position and a 4-(2-pyridyl)-1-piperazine moiety at the C-7 position, was the most active in inhibiting HIV-1 replication on de novo infected C8166 human lymphoblastoid cell lines. The I EC50 value was 0.1 μM, a 7-20-fold lower concentration relative to that for compds. containing a cyclopropyl and tert-Bu substituent at the N-1 position, resp. When the C-6 amino group was replaced with a fluorine atom, a decreased antiviral effect was observed The observed effects are selective, since potency is substantially reduced when testing the compds. against the herpes simplex virus type 1 (HSV-1). Active quinolone derivs. very efficiently interact with TAR RNA, which suggests a nucleic acid-targeted mechanism of action.

IT 304897-79-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and antiHIV activity of aminoquinolones)

RN 304897-79-8 CA

CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperazinyl]-, ethyl ester (CA INDEX NAME)



IT 304897-79-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and antiHIV activity of aminoquinolones)
IT 148927-33-7P 148927-34-8P 161040-81-9P
304897-73-2P 304897-74-3P 304897-75-4P
304897-76-5P 304897-80-1P 304897-82-3P
304897-83-4P 304897-84-5P 304897-85-6P
304897-98-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiHIV activity of aminoquinolones)
IT 70459-06-2 116163-44-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antiHIV activity of aminoquinolones)
IT 148927-29-1P 161040-80-8P 173061-57-9P
304897-89-0P 304897-90-3P 304897-91-4P
304897-92-5P 304897-93-6P 304897-95-8P
304897-97-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiHIV activity of aminoquinolones)
OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

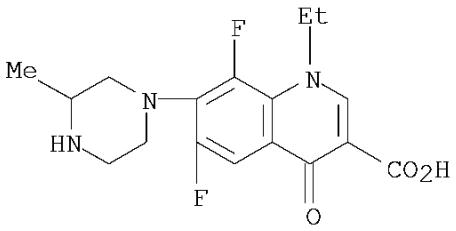
L6 ANSWER 18 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:217314 CA
TITLE: Effect of antimicrobial and anti-inflammatory medications on the sense of taste
AUTHOR(S): Schiffman, S. S.; Zervakis, J.; Westall, H. L.; Graham, B. G.; Metz, A.; Bennett, J. L.; Heald, A. E.
CORPORATE SOURCE: Department of Psychiatry, Duke University Medical School, Durham, NC, 27710, USA
SOURCE: Physiology & Behavior (2000), 69(4/5), 413-424
CODEN: PHBHA4; ISSN: 0031-9384
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Elderly individuals and HIV-infected patients have a disproportionate number of taste complaints relative to the general

population, and these taste alterations are correlated with the use of medications. Clin. reports of taste disorders have been associated with many drugs, including antimicrobial and anti-inflammatory medications. The purpose of this study was to quantify the taste effects of 6 nonsteroidal anti-inflammatory drugs (NSAIDS) and 13 antimicrobial drugs. The six NSAIDS were: diclofenac sodium salt, fenoprofen calcium salt, ibuprofen, ketoprofen, nabumetone, and sulindac. The 13 antimicrobials were: acyclovir, ampicillin, atovaquone, dapsone, enoxacin, ethambutol, lomefloxacin HCl, ofloxacin, pentamidine isethionate, pyrimethamine, sulfamethoxazole, tetracycline HCl, and trimethoprim. These 19 medications were applied topically to the tongues of unmedicated young and elderly volunteers as well as unmedicated HIV-infected patients to measure the direct effect of the drug on taste receptors. Topical application of drugs to the apical tongue surface was used to mimic the situation in which the drug is secreted into the saliva. The main finding was that the taste qualities of these drugs were perceived as predominantly bitter, metallic, and/or sour, although several did not have a taste. Elderly subjects had higher thresholds than young subjects for one-third of the drugs that were tested. Thresholds for HIV -infected patients were statistically equivalent to young controls; however, HIV-infected patients rated the drugs as more intense at four times above the detection threshold than young subjects. Most of these drugs when applied directly to the tongue also modified the taste intensity of other tastants (e.g., NaCl, citric acid).

IT 98079-52-8, Lomefloxacin hydrochloride
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effect of antimicrobial and anti-inflammatory medications on sense of taste in young and elderly volunteers and HIV-infected patients)

RN 98079-52-8 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo-, hydrochloride (1:1) (CA INDEX NAME)



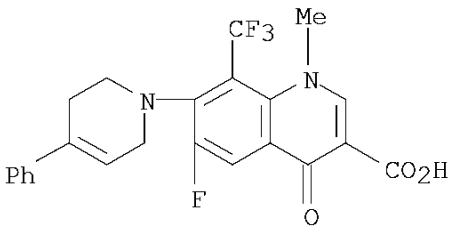
● HCl

IT 98079-52-8, Lomefloxacin hydrochloride
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effect of antimicrobial and anti-inflammatory medications on sense of taste in young and elderly volunteers and HIV-infected patients)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

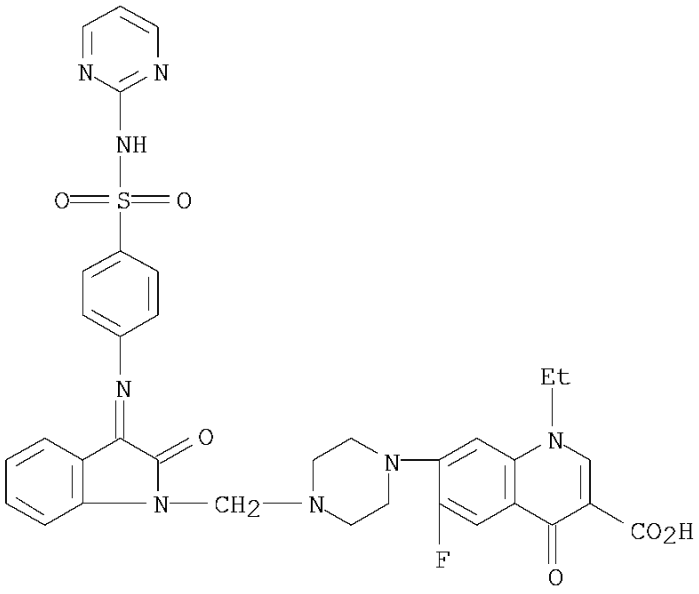
L6 ANSWER 19 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:187621 CA
TITLE: Inhibition of the RNA-Dependent Transactivation and Replication of Human Immunodeficiency Virus Type 1 by a Fluoroquinoline Derivative K-37
AUTHOR(S): Okamoto, Hiroshi; Cujec, Thomas P.; Okamoto, Mika; Peterlin, B. Matija; Baba, Masanori; Okamoto, Takashi
CORPORATE SOURCE: Department of Molecular Genetics, Nagoya City University Medical School, Nagoya, 467-8601, Japan
SOURCE: Virology (2000), 272(2), 402-408
CODEN: VIRLAX; ISSN: 0042-6822
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Human immunodeficiency virus type 1 (HIV-1) is unique in that it encodes its own transcriptional activator Tat, which specifically binds to the viral mRNA sequence TAR (transactivation response) element and activates viral transcription at the step of elongation as well as initiation. We recently reported that fluoroquinoline derivs. inhibited HIV-1 replication most likely by blocking viral transcription. In this report, we investigated the mechanism of action of one such compound 7-(3,4-dehydro-4-phenyl-1-piperidinyl)-1,4-dihydro-6-fluoro-1-methyl-8-trifluoromethyl-4-oxoquinoline-3-carboxylic acid (K-37). We demonstrated that K-37 inhibited not only Tat but also other RNA-dependent transactivators. No effect was observed with DNA-dependent transactivators such as p65 (NF-κB) and Gal4VP16. Moreover, K-37 did not inhibit carboxyl-terminal domain (CTD)-kinase activities of CDK-activating kinase (CAK) and pos. transcription elongation factor b (P-TEFb), which are known to be involved in Tat-mediated transactivation at the step of transcriptional elongation. It is suggested that RNA-mediated transactivation may involve a common unknown factor to which K-37 directly interacts. Since K-37 did not appear to block DNA-mediated transactivation and thus did not show strong nonspecific cytotoxicity as reported previously, K-37 and its derivative compds. are considered to be feasible candidates for a novel AIDS therapy. (c) 2000 Academic Press.
IT 210647-56-6, K 37
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of the RNA-dependent transactivation and replication of human immunodeficiency virus type 1 by a fluoroquinoline derivative K-37)
RN 210647-56-6 CA
CN 3-Quinolinecarboxylic acid, 7-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-6-fluoro-1,4-dihydro-1-methyl-4-oxo-8-(trifluoromethyl)- (CA INDEX NAME)



IT 210647-56-6, K 37
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of the RNA-dependent transactivation and replication of human immunodeficiency virus type 1 by a fluoroquinoline derivative K-37)
OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 132:347465 CA
TITLE: Synthesis, antibacterial, antifungal, and anti-HIV activities of norfloxacin Mannich bases
AUTHOR(S): Pandeya, Surendra N.; Sriram, Dhamrajan; Nath, Gopal; De Clercq, Erik
CORPORATE SOURCE: Department of Pharmaceutics, Institute of Technology, Banaras Hindu University, Varanasi, 221 005, India
SOURCE: European Journal of Medicinal Chemistry (2000), 35(2), 249-255
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mannich bases of norfloxacin were synthesized by reacting them with formaldehyde and several isatin derivs. Investigation of in vitro antimicrobial activity of compds. was done by the agar dilution method against 28 pathogenic bacteria, eight pathogenic fungi, and anti-HIV activity against replication of HIV-1 (III B) in MT-4 cells. The in vivo antibacterial efficacy of selected derivs. was determined using a mouse infection model. All the synthesized compds. are more active than norfloxacin against the 13 bacteria tested. The compds. are also more active than the standard drug clotrimazole against Histoplasma capsulatum. Two compds. have shown inhibition against HIV-1 (III B) with EC50 values of 11.3 and 13.9 μg/mL, resp. In the mouse protection test, two compds. are more active than norfloxacin (ED50: 6 mg/kg). Among the compds. tested, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7[[N4-[5'-bromo-3'-(4'-amino-5'-trimethoxybenzylpyrimidin-2'-yl)imino-1'-isatinyl]methyl]N1-piperazinyl]-3-quinoline carboxylic acid showed promising activity in all the three tests.
IT 269738-96-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antibacterial, antifungal, and anti-HIV activities

of norfloxacin Mannich bases)
RN 269738-96-7 CA
CN 3-Quinolinecarboxylic acid, 7-[4-[[2,3-dihydro-2-oxo-3-[[4-[(2-pyrimidinylamino)sulfonyl]phenyl]imino]-1H-indol-1-yl]methyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 269738-96-7P 269738-97-8P 269738-98-9P
269738-99-0P 269739-00-6P 269739-01-7P
269739-02-8P 269739-03-9P 269739-05-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antibacterial, antifungal, and anti-HIV activities of norfloxacin Mannich bases)
IT 70458-96-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, antibacterial, antifungal, and anti-HIV activities of norfloxacin Mannich bases)
OS.CITING REF COUNT: 56 THERE ARE 56 CAPLUS RECORDS THAT CITE THIS RECORD (56 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 132:30335 CA
TITLE: Synthesis and anti-HIV activity of arylpiperazinyl fluoroquinolones: a new class of anti-HIV agents
AUTHOR(S): Hagihara, Masahiko; Kashiwase, Hiroto; Katsube, Tetsushi; Kimura, Tomio; Komai, Tomoaki; Momota, Kenji; Ohmine, Toshinori; Nishigaki, Takashi; Kimura, Satoshi; Shimada, Kaoru
CORPORATE SOURCE: Pharmaceutical Research Department, Ube Laboratory, Ube Industries, Ltd., Ube City, 755-0067, Japan

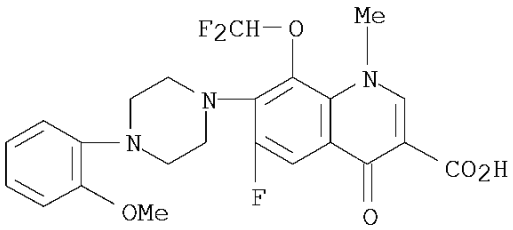
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(21), 3063-3068
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthesis and anti-HIV activity of a series of novel arylpiperazinyl fluoroquinolones are reported. In the SAR study, the aryl substituents on the piperazine nitrogen were found to play an important role for the anti-HIV-1 activity. A few of the compds. exhibited potent anti-HIV activity: IC50 = 0.06μM in chronically infected cells.

IT 153467-95-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anti-HIV activity of arylpiperazinyl fluoroquinolones)

RN 153467-95-9 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-1-methyl-4-oxo- (CA INDEX NAME)



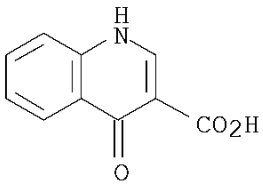
IT 153467-95-9P 153467-96-0P 153467-97-1P
153467-98-2P 153468-00-9P 153468-10-1P
153468-11-2P 153468-17-8P 153468-18-9P
153468-19-0P 153468-20-3P 153468-24-7P
153468-37-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and anti-HIV activity of arylpiperazinyl fluoroquinolones)

IT 144216-11-5D, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of arylpiperazinyl fluoroquinolones)

OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 131:306828 CA
TITLE: Fluoroquinolones in the treatment of atypical mycobacterial infections in AIDS
AUTHOR(S): Bassetti, M.; Bussolino, C.; Cruciani, M.; Collida, A.; Del Bono, V.; Di Biagio, A.; Mazzarello, G.;

Pontali, E.; Mantero, E.; Gatti, G.; Bassetti, D.
CORPORATE SOURCE: Infectious Diseases Institute, University of Genoa, Genoa, Italy
SOURCE: Drugs (1999), 58(Suppl. 2), 402-403
CODEN: DRUGAY; ISSN: 0012-6667
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Retrospective anal. of the charts of AIDS patients with Mycobacterium avium complex infection showed the considerable potential for the clin. use of ciprofloxacin in this setting. Patients receiving fluoroquinolone-containing multiple drug regimens were treated longer than those receiving other multidrug regimens.
IT 13721-01-2D, fluoro analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fluoroquinolones treatment of atypical mycobacterial infections in AIDS)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, fluoro analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fluoroquinolones treatment of atypical mycobacterial infections in AIDS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

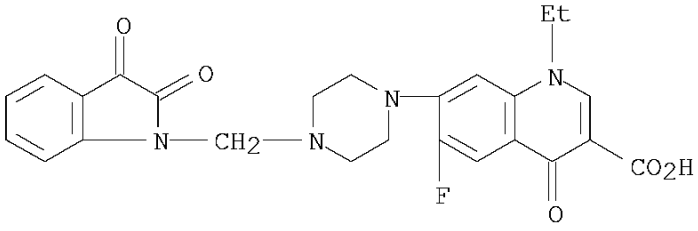
L6 ANSWER 23 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 131:164984 CA
TITLE: Synthesis, antibacterial, antifungal, and anti-HIV evaluation of norfloxacin Mannich bases
AUTHOR(S): Pandeya, S. N.; Sriram, D.; Nath, G.; De Clercq, E.
CORPORATE SOURCE: Dep. Pharmaceutics, Institute Technology, Banaras Hindu Univ., Varanasi, 221005, India
SOURCE: Scientia Pharmaceutica (1999), 67(2), 103-111
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mannich bases of norfloxacin were synthesized by reacting them with formaldehyde and several isatin derivs. Their chemical structures have been confirmed by means of their IR, 1H-NMR data and by elemental anal. Investigation of antimicrobial activity of compds. was done by agar dilution

method against 28 pathogenic bacteria, eight pathogenic fungi and anti-HIV activity against replication of HIV-1 (III B) in MT-4 cells. Among the compds. tested 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7[[N4-(5'-chloro-3'-thiosemicarbazono isatin-1'-yl) methyl] N1-piperazinyl] 3-quinoline carboxylic acid showed the most favorable antimicrobial activity.

IT 238431-57-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antibacterial, antifungal, and anti-HIV evaluation of norfloxacin Mannich bases)

RN 238431-57-7 CA

CN 3-Quinolinecarboxylic acid, 7-[4-[(2,3-dihydro-2,3-dioxo-1H-indol-1-yl)methyl]-1-piperazinyl]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 238431-57-7P 238431-58-8P 238431-59-9P
238431-60-2P 238431-61-3P 238431-62-4P
238431-63-5P 238431-64-6P 238431-65-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antibacterial, antifungal, and anti-HIV evaluation of norfloxacin Mannich bases)

IT 70458-96-7, Norfloxacin
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis, antibacterial, antifungal, and anti-HIV evaluation of norfloxacin Mannich bases)

OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 130:338335 CA

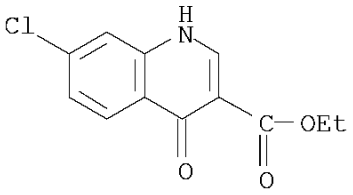
TITLE: Synthesis of novel nucleosides of 4-oxoquinoline-3-carboxylic acid analogs

AUTHOR(S): Da Matta, Anderson D.; Dos Santos, Carla Veronica B.; Pereira, Helena De S.; Frugulhetti, Izabel Christina De P. P.; De Oliveira, Mara Rita P.; De Souza, Maria Cecilia B. V.; Moussatch, Nissin; Ferreira, Vitor F.

CORPORATE SOURCE: Instituto de Quimica, Universidade Federal Fluminense, Rio de Janeiro, 24020-150, Brazil

SOURCE: Heteroatom Chemistry (1999), 10(3), 197-202

CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of new ribonucleosides having 4-oxoquinoline-3-carboxylic acid substituted with a chloro or bromo atom in the aromatic ring, as the nitrogen base, was synthesized and examined for anti-HTV activity. Two compds. showed a modest inhibition activity on HIV-1 reverse transcriptase, inhibiting 10% of the enzyme activity at the concentration of 100 μM.
IT 54132-35-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel nucleosides of oxoquinoline-3-carboxylic acid analogs)
RN 54132-35-3 CA
CN 3-Quinolinecarboxylic acid, 7-chloro-1,4-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)



IT 54132-35-3 79607-22-0 79607-23-1
208580-23-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel nucleosides of oxoquinoline-3-carboxylic acid analogs)
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

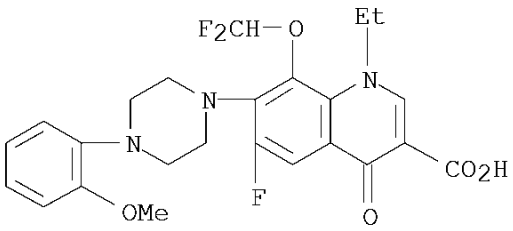
L6 ANSWER 25 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:332330 CA
TITLE: Inhibition of human immunodeficiency virus type 1 replication by combination of transcription inhibitor K-12 and other antiretroviral agents in acutely and chronically infected cells
AUTHOR(S): Okamoto, Mika; Okamoto, Takashi; Baba, Masanori
CORPORATE SOURCE: Division of Human Retroviruses, Center for Chronic Viral Diseases, Faculty of Medicine, Kagoshima University, Kagoshima, 890-8520, Japan
SOURCE: Antimicrobial Agents and Chemotherapy (1999), 43(3), 492-497
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 8-Difluoromethoxy-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid (K-12) has recently been identified as a potent and selective inhibitor of human immunodeficiency virus type 1 (HIV-1) transcription. In this study, we examined several combinations of K-12 and other antiretroviral agents for their

inhibitory effects on HIV-1 replication in acutely and chronically infected cell cultures. Combinations of K-12 and a reverse transcriptase (RT) inhibitor, either zidovudine, lamivudine, or nevirapine, synergistically inhibited HIV-1 replication in acutely infected MT-4 cells. The combination of K-12 and the protease inhibitor nelfinavir (NFV) also synergistically inhibited HIV-1, whereas the synergism of this combination was weaker than that of the combinations with the RT inhibitors. K-12 did not enhance the cytotoxicities of RT and protease inhibitors. Synergism of the combinations was also observed in acutely infected peripheral blood mononuclear cells. The combination of K-12 and cepharanthine, a nuclear factor κB inhibitor, synergistically inhibited HIV-1 production in tumor necrosis factor alpha-stimulated U1 cells, a promonocytic cell line chronically infected with the virus. In contrast, additive inhibition was observed for the combination of K-12 and NFV. These results indicate that the combinations of K-12 and clin. available antiretroviral agents may have potential as chemotherapeutic modalities for the treatment of HIV-1 infection.

IT 153468-00-9, K-12
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of HIV-1 replication by combination of transcription inhibitor K-12 and other antiretroviral agents in acutely and chronically infected cells)

RN 153468-00-9 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxo- (CA INDEX NAME)



IT 153468-00-9, K-12
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of HIV-1 replication by combination of transcription inhibitor K-12 and other antiretroviral agents in acutely and chronically infected cells)

OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

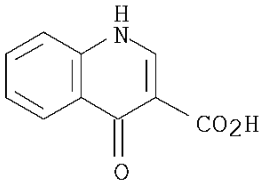
L6 ANSWER 26 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 130:276301 CA

TITLE: A new fluoroquinolone derivative exhibits inhibitory activity against human immunodeficiency virus type 1 replication

AUTHOR(S): Kashiwase, Hiroto; Momota, Kenji; Ohmine, Toshinori;

Komai, Tomoaki; Kimura, Tomio; Katsube, Tetsushi; Nishigaki, Takashi; Kimura, Satoshi; Shimada, Kaoru; Furukawa, Hidehiko
CORPORATE SOURCE: Biological Research Laboratories, Sankyo Co., Ltd., Tokyo, 140, Japan
SOURCE: Chemotherapy (Basel) (1999), 45(1), 48-55
CODEN: CHTHBK; ISSN: 0009-3157
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The inhibitory activity of several fluoroquinolone antibiotics against human immunodeficiency virus type 1 (HIV-1) replication was investigated. R-71762, (±) 9-fluoro-3-fluoro-methyl-2,3-dihydro-10-[4-(2-pyridyl)-1-piperazinyl]-7-oxo-7H-pyrido[1,2,3-de][1,4]benzoxazine-6-carboxylic acid, protected MT-4 cells from HIV-1-induced cytopathic effects. Furthermore, the compound inhibited virus replication both in acutely and in chronically HIV-1-infected cells. On the other hand, ofloxacin, levofloxacin, ciprofloxacin, norfloxacin, and enoxacin, that were previously reported to be protective against HIV-1-induced cytopathic effects, did not show any protective activity in this assay system. These results indicate that R-71762 is a novel inhibitor of HIV-1 replication and is effective even in HIV-1 chronically infected cells.
IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study) (derivs., antibiotics; anti-HIV quinolone derivative R-71762 inhibits HIV-1 replication)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study) (derivs., antibiotics; anti-HIV quinolone derivative R-71762 inhibits HIV-1 replication)
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:110531 CA
TITLE: Quinolone nucleosides: 6,7-dihalo-N-β- and α-glycosyl-1,4-dihydro-4-oxo-quinoline-3-carboxylic acids and derivatives. synthesis, antimicrobial and antiviral activity
AUTHOR(S): Al-Masoudi, Najim A.; Al-Soud, Yaseen A.; Ehrmann, Micheal; De Clercq, Erik
CORPORATE SOURCE: Fakultat fur Chemie der Universitat Konstanz,

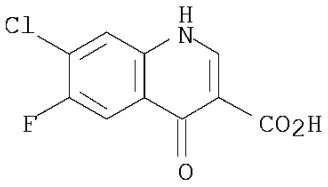
Konstanz, D-78434, Germany
SOURCE: Nucleosides & Nucleotides (1998), 17(12), 2255-2266
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reaction of silylated 6,7-dihaloquinoline bases with 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribofuranose gave Et 7-chloro-6-fluoro-1,4-dihydro-4-oxo-1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)quinoline-3-carboxylate and the free acids, which led on deblocking of the sugar moiety to the free nucleosides. Treatment of Et 7-chloro-6-fluoro-1,4-dihydro-4-oxo-1-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)quinoline-3-carboxylate with methanolic ammonia afforded the amide derivative Ribosylation of silylated base with 1,2-di-O-acetyl-3-azido-3-deoxy-5-p-toluoyl-β-D-ribofuranose afforded the azido nucleoside, which was again converted into the free nucleoside. Analogously, reaction of silylated base with the chlorodeoxyribose derivative led to a mixture of α/β (2:1) anomers. Deblocking and recrystn. of the product gave mainly the α-anomer. Several compds. were evaluated against Escherichia coli and found inactive. Other compds. were found to be inactive against HIV-1 (III B) and HIV-2 (ROD) induced cytopathicity in human MT-4 lymphocyte cells.

IT 88569-32-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, antimicrobial and antiviral activity of quinolone nucleosides)

RN 88569-32-8 CA

CN 3-Quinolinecarboxylic acid, 7-chloro-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 88569-32-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, antimicrobial and antiviral activity of quinolone nucleosides)

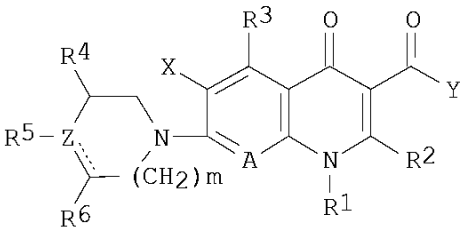
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:76164 CA
TITLE: Inhibitors for TNF-α induction
INVENTOR(S): Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316570	A	19981202	JP 1997-122422	19970513 <--
JP 3776203	B2	20060517		
JP 2006131641	A	20060525	JP 2005-377597	20051228
PRIORITY APPLN. INFO.:			JP 1997-122422	A3 19970513
OTHER SOURCE(S):	MARPAT 130:76164			

GI



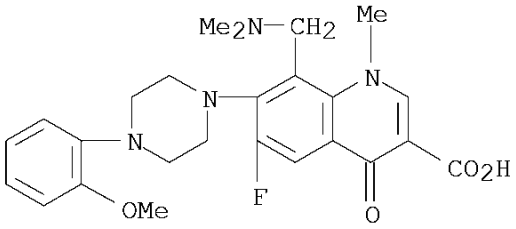
I

AB The compds. (I; R1 = C1-6 alkyl; R2, R3 = H, etc.; R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = OH, etc.; Z = C, etc.) are claimed as inhibitors for TNF-α induction and treatment of related diseases e.g. chronic rheumatoid arthritis, septic shock, ulcerative colitis, AIDS, etc. I inhibited ICAM-1 and p24 protein information and had anti-HIV activity in vitro.

IT 210647-57-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors for TNF-α induction)

RN 210647-57-7 CA

CN 3-Quinolinecarboxylic acid, 8-[(dimethylamino)methyl]-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-1-methyl-4-oxo- (CA INDEX NAME)



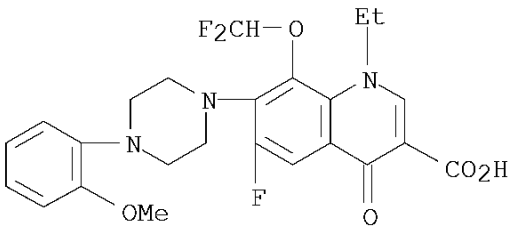
IT 210647-57-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors for TNF-α induction)

IT 177360-66-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibitors for TNF-α induction)

IT 177360-53-1P 218608-10-7P 218608-11-8P

218608-13-0P 218608-14-1P 218608-15-2P
218608-16-3P 218608-17-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors for TNF-α induction)
IT 218608-12-9P 218608-18-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(inhibitors for TNF-α induction)

L6 ANSWER 29 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:20238 CA
TITLE: Broad-spectrum antiviral activity and mechanism of antiviral action of the fluoroquinolone derivative K-12
AUTHOR(S): Witvrouw, M.; Daelemans, D.; Pannecouque, C.; Neyts, J.; Andrei, G.; Snoeck, R.; Vanadamme, A.-M.; Balzarini, J.; Desmyter, J.; Baba, M.; De Clercq, E.
CORPORATE SOURCE: Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
SOURCE: Antiviral Chemistry & Chemotherapy (1998), 9(5), 403-411
CODEN: ACCHEH; ISSN: 0956-3202
PUBLISHER: International Medical Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The fluoroquinolone derivs. have been shown to inhibit human immunodeficiency virus (HIV) replication at the transcriptional level. We confirmed the anti-HIV activity of the most potent congener, 8-difluoromethoxy-1-ethyl-56-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-quinolone-3-carboxylic acid (K-12), in both acutely and chronically infected cells. K-12 was active against different strains of HIV-1 (including AZT- and ritonavir-resistant HIV-1 strains), HIV-2 and simian immunodeficiency virus, in MT-4, CEM, C8166 and peripheral blood mononuclear cells. In all of these antiviral assay systems, K-12 showed a similar activity (EC50 0.2-0.6 μM). K-12 inhibited Moloney murine sarcoma virus-induced transformation of C3H/3T3 cells with an EC50 of 6.9 μM. Also, K-12 proved inhibitory to herpesvirus saimiri, human cytomegalovirus, varicella-zoster virus and herpes simplex virus types 1 and 2 (in order of decreasing sensitivity), but was not inhibitory (at subtoxic concns.) to human herpesvirus type 8 (as evaluated in BCBL-1 cells), vaccinia virus, Sindbis virus, vesicular stomatitis virus, respiratory syncytial virus, Coxsackie virus, Punta Toro virus, parainfluenza virus or reovirus. Time-of-addition expts. and quant. transactivation bioassays indicated that K-12 inhibits the Tat-mediated transactivation process in HIV-infected cells.
IT 153468-00-9, K 12
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(broad-spectrum antiviral activity and mechanism of the fluoroquinolone derivative K-12)
RN 153468-00-9 CA
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxo- (CA INDEX NAME)



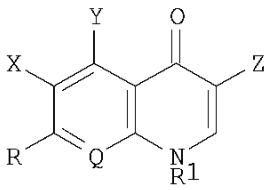
IT 153468-00-9, K 12
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(broad-spectrum antiviral activity and mechanism of the fluoroquinolone derivative K-12)

OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 129:270603 CA
ORIGINAL REFERENCE NO.: 129:55021a,55024a
TITLE: Anti-FIV agents
INVENTOR(S): Kashiwase, Hiroto; Nishigaki, Takashi; Katsube, Tetsushi
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan; Ube Industries, Limited
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9842341	A1	19981001	WO 1998-JP1256		19980324	<--
W: AU, BR, CA, CN, CZ, HU, ID, IL, KR, MX, NO, NZ, PL, RU, TR, US						
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
AU 9864218	A	19981020	AU 1998-64218		19980324	<--
JP 10324630	A	19981208	JP 1998-77681		19980325	<--
PRIORITY APPLN. INFO.:			JP 1997-71669	A	19970325	
			WO 1998-JP1256	W	19980324	

GI



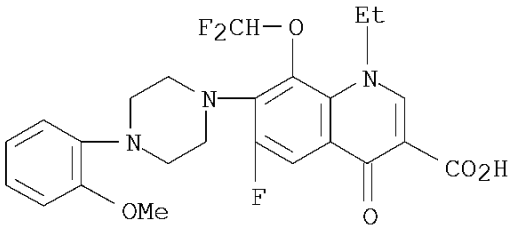
I

AB A composition for the remedy or prevention of feline immunodeficiency virus (FIV) infectious diseases (feline AIDS) which comprises as the active ingredient a quinolonecarboxylic acid derivative or a salt or ester thereof each having an excellent anti-FIV activity. The derivative is represented, e.g., by general formula (I) wherein X represents hydrogen or halogeno; Y represents hydrogen, halogeno, alkyl, etc.; Z represents optionally protected carboxy, etc.; Q represents nitrogen, C-H, C-CF3, C-OCF2H, etc.; R1 represents hydrogen, alkyl, etc.; and R represents a piperazino group substituted with an optionally substituted aromatic group, etc.

IT 153468-00-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinolonecarboxylate derivs. as inhibitors of feline immunodeficiency virus)

RN 153468-00-9 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxo- (CA INDEX NAME)



IT 153468-00-9P 177360-54-2P 177360-55-3P
195048-55-6P 195048-56-7P 195048-57-8P
195048-58-9P 195048-60-3P 195048-61-4P
195048-62-5P 195048-65-8P 195048-66-9P
195048-67-0P 195048-68-1P 207746-81-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinolonecarboxylate derivs. as inhibitors of feline immunodeficiency virus)

IT 138140-76-8P 177360-66-6P 195048-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(quinolonecarboxylate derivs. as inhibitors of feline immunodeficiency virus)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 129:225185 CA

ORIGINAL REFERENCE NO.: 129:45609a,45612a

TITLE: Patents on DNA gyrase inhibitors: January 1995 to March 1998

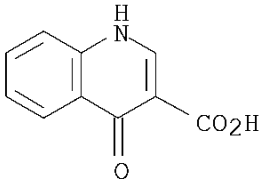
AUTHOR(S): Kim, Oak K.; Ohemeng, Kwasi A.

CORPORATE SOURCE: Anti-infective Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Expert Opinion on Therapeutic Patents (1998), 8(8), 959-969
CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER: Ashley Publications
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review, with 73 refs., summarizing patents claiming novel DNA gyrase inhibitors (quinolones and non-quinolones) published from Jan. 1995 to date. The majority of these patents describe the synthesis and biol. evaluation of new fluoroquinolone analogs with modified substituents on N-1, C-5, C-7 or C-8 of the quinolone ring system. A series of quinolizinones were reported as potent broad-spectrum antibacterial agents with activity against several resistant bacterial strains. Two interesting non-quinolone inhibitors with new chemotypes were reported. However, no detailed reports on biol. profiles were described. Several patents relating to the improved synthesis of quinolone intermediates were also published. The last group of patents reported new formulation methods for known quinolones, which claimed to provide improved phys. stability or improved therapeutic efficacy. New applications of known fluoroquinolones against aphthous ulcers and human immunodeficiency virus (HIV) were also claimed.

IT 13721-01-2D, 1,4-Dihydro-4-oxo-3-quinolinecarboxylic acid, derivs.
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(patents from Jan. 1995 to Mar. 1998 on DNA gyrase inhibitors as antibacterial and antiviral agents)

RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, 1,4-Dihydro-4-oxo-3-quinolinecarboxylic acid, derivs.
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(patents from Jan. 1995 to Mar. 1998 on DNA gyrase inhibitors as antibacterial and antiviral agents)

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 129:130906 CA
ORIGINAL REFERENCE NO.: 129:26609a,26612a
TITLE: Inhibition of human immunodeficiency virus type 1

replication and cytokine production by fluoroquinoline derivatives

AUTHOR(S): Baba, Masanori; Okamoto, V. Mika; Kawamura, Masaki; Makino, Masahiko; Higashida, Tomoe; Takashi, Tohru; Kimura, Youichi; Ikeuchi, Tohru; Tetsuka, Toshifumi; Okamoto, Takashi

CORPORATE SOURCE: Division of Human Retroviruses, Center for Chronic Vinal Diseases, Faculty of Medicine, Kagoshima University, Kagoshima, 890, Japan

SOURCE: Molecular Pharmacology (1998), 53(6), 1097-1103
CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal

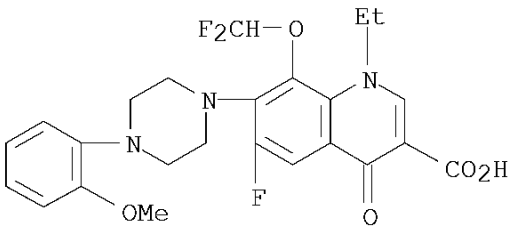
LANGUAGE: English

AB We have recently identified 8-difluoromethoxy-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1 -piperazinyl]-4-oxoquinoline-3-carboxylic acid (K-12) as a potent and selective inhibitor of human immunodeficiency virus type 1 (HIV-1) transcription. In the search for more effective derivs. and their mode of action, we have found 7-(3,4-dehydro-4-phenyl-1-piperidinyl)-1,4-dihydro-6-fluoro-1-methyl-8-trifluoromethyl-4-oxoquinoline-3-carboxylic acid (K-37) and 8-difluoromethoxy-1,4-dihydro-6-fluoro-7-(3,4-dehydro-4-phenyl-1-piperidinyl)-1-[4,(1,2,4-triazol-1-yl)methylphenyl]-4-oxoquinoline-3-carboxylic acid (K-38) to be more potent inhibitors of HIV-1 replication than K-12. The EC50 values of K-37 and K-38 for HIV -1IIIB were 27 and 3.8 nM in peripheral blood mononuclear cells, resp. These values were approx. 3- and 24-fold lower than the EC50 of K-12. K-38 was also a more potent inhibitor of HIV-1 replication in chronically infected cells, such as tumor necrosis factor α-stimulated OM-10.1 cells. K-37 and K-38 proved to be more cytotoxic than K-12 for a variety of cell lines as well as peripheral blood mononuclear cells. These compds. were more inhibitory of Tat-induced HIV-1 long terminal repeat-driven gene expression than K-12, which suggests that their mechanism of action is attributable in part to the inhibition of Tat function. Interestingly, K-37 and K-38 could suppress the production of tumor necrosis factor a and interleukin 6 in phytohemagglutinin-stimulated peripheral blood mononuclear cells and the expression of intercellular adhesion mol. 1 in tumor necrosis factor α-stimulated human umbilical vein endothelial cells at their nontoxic concns. In contrast, another K-12 derivative, 1,4-dihydro-8-dimethylaminomethyl-6-fluoro-7-[4-(2-methoxyphenyl)-1-piperadinyl]-1-methyl-4-oxoquinoline-3-carboxylic acid (K-42), had anti-HIV-1 activity and cytotoxicity profiles similar to those of K-12, but K-42 scarcely inhibited the cytokine production and intercellular adhesion mol. 1 expression.

IT 153468-00-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of HIV type 1 replication and cytokine production by fluoroquinoline derivs.)

RN 153468-00-9 CA

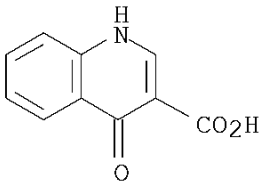
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxo- (CA INDEX NAME)



IT 153468-00-9 210647-56-6, K 37 210647-57-7, K 42
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of HIV type 1 replication and cytokine production by fluoroquinoline derivs.)
OS.CITING REF COUNT: 59 THERE ARE 59 CAPLUS RECORDS THAT CITE THIS RECORD (59 CITINGS)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 129:38643 CA
ORIGINAL REFERENCE NO.: 129:8069a,8072a
TITLE: Development of quinolone-resistant Campylobacter fetus bacteremia in human immunodeficiency virus-infected patients
AUTHOR(S): Meier, Patricia A.; Dooley, David P.; Jorgensen, James H.; Sanders, Christine C.; Huang, Wai Mun; Patterson, Jan E.
CORPORATE SOURCE: Department of Infectious Diseases, Brooke Army Medical Center, Ft. Sam Houston, TX, USA
SOURCE: Journal of Infectious Diseases (1998), 177(4), 951-954
CODEN: JIDIAQ; ISSN: 0022-1899
PUBLISHER: University of Chicago Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Campylobacter fetus subspecies fetus has been recognized as a cause of systemic illness in immunocompromised hosts, including relapsing bacteremia in human immunodeficiency virus (HIV)-infected patients. Acquired resistance to quinolone therapy, while reported for a variety of bacteria, including Campylobacter jejuni, has not been previously documented for C. fetus. Two cases of quinolone-resistant C. fetus bacteremia were detected in HIV-infected patients. Cloning and nucleotide sequencing of the C. fetus gyrA gene in the 2 resistant isolates demonstrated a G-to-T change that led to an Asp-to-Tyr amino acid substitution at a critical residue frequently associated with quinolone resistance. In addition, comparison of the pre- and posttreatment isolates from 1 patient documented outer membrane protein changes temporally linked with the development of resistance. Relapsing C. fetus infections in quinolone-treated HIV-infected patients may be associated with the acquisition of resistance to these agents, and this resistance may be multifactorial.
IT 13721-01-2

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(derivs., antibiotics; development of quinolone-resistant Campylobacter fetus bacteremia in human immunodeficiency virus-infected patients)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(derivs., antibiotics; development of quinolone-resistant Campylobacter fetus bacteremia in human immunodeficiency virus-infected patients)
IT 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(development of quinolone-resistant Campylobacter fetus bacteremia in human immunodeficiency virus-infected patients)
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 129:8597 CA
ORIGINAL REFERENCE NO.: 129:1853a,1856a
TITLE: Embedding and encapsulation of controlled release particles
INVENTOR(S): Van Lengerich, Bernhard H.
PATENT ASSIGNEE(S): Van Lengerich, Bernhard H., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818610	A1	19980507	WO 1997-US18984	19971027 <--
W: AU, CA, JP, NO, PL, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2269806	A1	19980507	CA 1997-2269806	19971027 <--
CA 2269806	C	20060124		
AU 9749915	A	19980522	AU 1997-49915	19971027 <--
AU 744156	B2	20020214		
EP 935523	A1	19990818	EP 1997-912825	19971027 <--
EP 935523	B1	20040929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

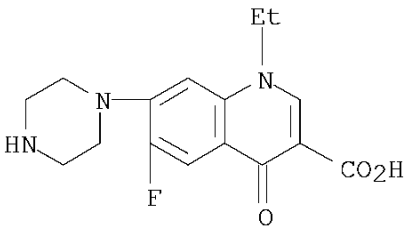
JP 2002511777	T	20020416	JP 1998-520558		19971027 <--
EP 1342548	A1	20030910	EP 2003-10031		19971027 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
AT 277739	T	20041015	AT 1997-912825		19971027
PL 191399	B1	20060531	PL 1997-333095		19971027
NO 9902036	A	19990428	NO 1999-2036		19990428 <--
PRIORITY APPLN. INFO.:			US 1996-29038P	P	19961028
			US 1997-52717P	P	19970716
			EP 1997-912825	A3	19971027
			WO 1997-US18984	W	19971027

AB Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temperature of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixture The mixture is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

IT 70458-96-7, Norfloxacin
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(embedding and encapsulation of controlled release particles)

RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(embedding and encapsulation of controlled release particles)

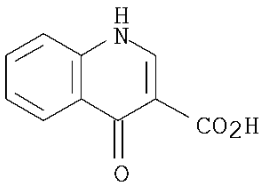
OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS

RECORD (23 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 128:248577 CA
ORIGINAL REFERENCE NO.: 128:49125a,49128a
TITLE: Use of liposome encapsulated ciprofloxacin as an immunotherapeutic drug
INVENTOR(S): Wong, Jonathan P.; Saravolac, Edward G.; Nagata, Les P.
PATENT ASSIGNEE(S): Minister of National Defence, Can.
SOURCE: Can. Pat. Appl., 27 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2174803	A1	19971024	CA 1996-2174803	19960423 <--
CA 2174803	C	20000711		
US 5968548	A	19991019	US 1997-843589	19970416 <--

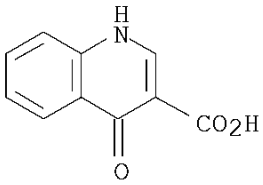
PRIORITY APPLN. INFO.: CA 1996-2174803 A 19960423
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Liposome-encapsulated quinolones and specifically liposome-encapsulated ciprofloxacin (I) dramatically enhances macrophage functions, induces NO production and augments the production of cytokines, rendering the composition an immunoprophylactic and immunotherapeutic agent with unique clin. potential. Liposome-encapsulated ciprofloxacin and other quinolones could be extremely useful in antimicrobial, anticancer and AIDS therapies. In such cases, the immunol. status of the patient is often compromised or suppressed, making them susceptible to microbial infections and to the development of tumor growth. Selective augmentation of cellular immunity by activation of the microbicidal and tumoricidal activities of macrophages, induction of NO and cytokine production could be of primary importance to such patients in terms of protecting them against microbial infections and inducing their cellular host defense to tumor cells. Liposome-encapsulated ciprofloxacin containing 1 mg ciprofloxacin in 1 μmole equivalent lipid of the liposomes were injected to mice, then at 48 h following 3 daily dosed, the mice were sacrificed by and macrophage were separated The phagositic activity of macrophages isolated from I-treated mice was 4 fold higher than that from the untreated controls. Liposome-encapsulation increased the activity by 7-fold over the control.
IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study) (derivs., antibiotics; use of liposome encapsulated ciprofloxacin as immunotherapeutic drug)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study) (derivs., antibiotics; use of liposome encapsulated ciprofloxacin as immunotherapeutic drug)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 36 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 128:241727 CA
ORIGINAL REFERENCE NO.: 128:47805a,47808a
TITLE: Profile and trend of antimicrobial resistance of non-opportunistic bacterial pathogens isolated from patients with HIV infection
AUTHOR(S): Manfredi, Roberto; Nanetti, Anna; Ferri, Morena; Coronado, Olga V.; Mastroianni, Antonio; Chiodo, Francesco
CORPORATE SOURCE: Department of Clinical and Experimental Medicine, University of Bologna, Bologna, I-40138, Italy
SOURCE: Journal of Antimicrobial Chemotherapy (1996), 38(5), 910-913
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Saunders
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A retrospective evaluation of the profile and trend of antibacterial susceptibility of non-opportunistic bacterial pathogens (Staphylococcus aureus, Enterococcus faecalis, Escherichia coli, and Pseudomonas aeruginosa) isolated from hospitalized HIV-infected patients during 1990-1992 vs. 1993-1995, compared with that of the same microorganism cultured from all non-HIV-infected subjects hospitalized in 1994-1995, is reported. The antimicrobials tested included: β-lactams, aminoglycosides, peptides, glycopeptides, co-trimoxazole, macrolides, and fluoroquinolines. A major increase in antibiotic resistance did not occur during the 6-y study period. In fact, hospitalized HIV-infected patients showed an unexpectedly favorable susceptibility profile when compared with that of the same pathogens cultured from non-HIV-infected in-patients. A significantly increased antibiotic resistance was found only for E. coli against co-trimoxazole and semisynthetic penicillins and for E. faecalis against tetracyclines. Thus, the resistance rates of the bacteria have not yet been significantly influenced by frequent and prolonged exposure to broad-spectrum antimicrobials.
IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study) (derivs., antibiotics; profile and trend of antimicrobial resistance of nonopportunistic bacterial pathogens isolated from patients with HIV infection)

RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(derivs., antibiotics; profile and trend of antimicrobial resistance of nonopportunistic bacterial pathogens isolated from patients with HIV infection)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

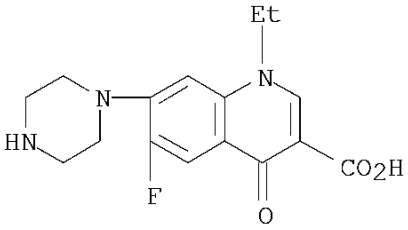
L6 ANSWER 37 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 128:241711 CA
ORIGINAL REFERENCE NO.: 128:47801a,47804a
TITLE: Antimicrobial resistance in gonococci isolated from patients and from commercial sex workers in Harare, Zimbabwe
AUTHOR(S): Mason, Peter R.; Gwanzura, Lovemore; Latif, Ahmed S.; Marowa, Evaristo; Ray, Sunanda; Katzenstein, David A.
CORPORATE SOURCE: University of Zimbabwe Medical School, Harare, Zimbabwe
SOURCE: International Journal of Antimicrobial Agents (1998), 9(3), 175-179
CODEN: IAAGEA; ISSN: 0924-8579
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The objective is to compare antibiotic resistance amongst gonococci isolated from different patient groups in Harare, Zimbabwe. Antimicrobial susceptibilities of Neisseria gonorrhoeae were determined by disk sensitivity tests. The MICs for penicillin, kanamycin, ceftriaxone, norfloxacin and ciprofloxacin were determined using E-test strips. There were 147 isolates from symptomatic men, 47 isolates from symptomatic women, 29 isolates from asymptomatic women and 41 isolates from female com. sex workers. A total of 119 (45%) isolates were PPNG and 23 (16%) non-PPNG isolates had a penicillin MIC >0.64 mg/l. Over 90% of isolates were resistant to TMP/SMX and 16% were resistant to tetracycline. Resistance was uncommon against kanamycin (6%), erythromycin (2%) or ceftriaxone (< 1%). For kanamycin, the MIC90 was 32 mg/l, for ceftriaxone the MIC90 was <0.032 mg/l for non-PPNG and <0.064 mg/l for PPNG. For norfloxacin and ciprofloxacin the MIC90 was <0.064 mg/l for both PPNG and non-PPNG. Isolates from the com. sex workers showed a significantly increased prevalence of PPNG, of penicillin-tolerant non-PPNG and of tetracycline resistance. Four of the 41 isolates from sex workers showed multiple resistance (to penicillin, TMP/SMX, tetracycline and kanamycin) compared to 1/223 isolates from other groups (OR = 24.0). Antimicrobial resistance is common amongst gonococci

in Harare, especially with isolates from com. sex workers. In order for STD treatment to be implemented as an effective strategy in HIV control, continued monitoring of resistance patterns is essential.

IT 70458-96-7, Norfloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial resistance in gonococci isolated from patients and from com. sex workers in Harare, Zimbabwe)

RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial resistance in gonococci isolated from patients and from com. sex workers in Harare, Zimbabwe)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 38 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 127:262703 CA

ORIGINAL REFERENCE NO.: 127:51313a,51316a

TITLE: Preparation of trifluoromethyl(piperazinyl)quinolinecarboxylic acids as anti-HIV agents

INVENTOR(S): Kimura, Fumio; Katsube, Tetsuji; Nishigaki, Takashi

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan; Ube Industries, Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

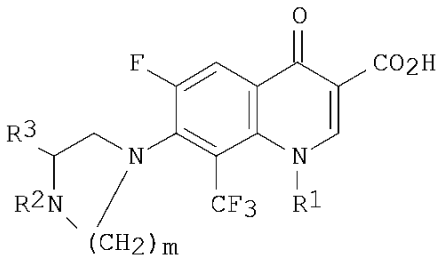
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09249568	A	19970922	JP 1997-2707	19970110 <--

PRIORITY APPLN. INFO.: JP 1996-3574 A 19960112

OTHER SOURCE(S): MARPAT 127:262703

GI



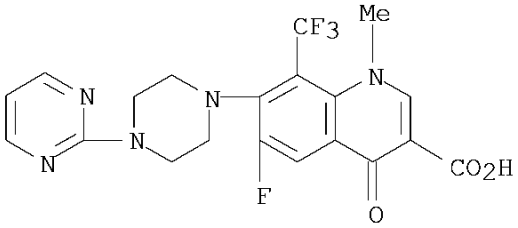
I

AB The title compds. I [R1 = alkyl, haloalkyl, cycloalkyl; R2 = (un)substituted Ph, etc.; R3 = H, alkyl; m = 2 or 3] are prepared A mixture of 1-cyclopropyl-6,7-difluoro-8-trifluoromethyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 1-(2-pyrimidinyl)piperazine in pyridine was stirred at 105° for 3 h to give, after workup and purification, 1-cyclopropyl-6-fluoro-8-trifluoromethyl-1,4-dihydro-4-oxo-7-[4-(2-pyrimidinyl)piperazin-1-yl]quinoline-3-carboxylic acid. The title compds. in vitro showed potent anti-HIV activity.

IT 177360-40-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of trifluoromethyl(piperazinyl)quinolinecarboxylic acids as anti-HIV agents)

RN 177360-40-6 CA

CN 3-Quinolinecarboxylic acid, 6-fluoro-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyrimidinyl)-1-piperazinyl]-8-(trifluoromethyl)- (CA INDEX NAME)



IT 177360-40-6P 177360-41-7P 177360-42-8P
177360-43-9P 177360-44-0P 177360-45-1P
177360-46-2P 177360-47-3P 177360-48-4P
177360-49-5P 177360-50-8P 177360-51-9P
177360-52-0P 177360-53-1P 177360-54-2P
177360-55-3P 177360-57-5P 177360-58-6P
177360-60-0P 177360-61-1P 177360-63-3P
177360-64-4P 195048-49-8P 195048-50-1P
195048-51-2P 196403-94-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of trifluoromethyl(piperazinyl)quinolinecarboxylic acids as anti-HIV agents)

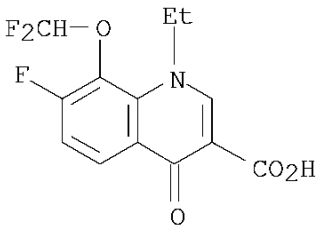
IT 177360-65-5P 177360-66-6P 177360-67-7P
177360-68-8P 196403-95-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trifluoromethyl(piperazinyl)quinolinecarboxylic acids as anti-HIV agents)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 39 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 127:215196 CA
ORIGINAL REFERENCE NO.: 127:41721a,41724a
TITLE: Remedies or preventives for AIDS
INVENTOR(S): Komai, Tomoaki; Ohmine, Toshinori; Nishigaki, Takashi; Kimura, Tomio; Katsube, Tetsushi
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan; Ube Industries, Ltd.
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727856	A1	19970807	WO 1997-JP218	19970130 <--
W: AU, CA, CN, CZ, HU, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2245179	A1	19970807	CA 1997-2245179	19970130 <--
AU 9715564	A	19970822	AU 1997-15564	19970130 <--
AU 713704	B2	19991209		
EP 878194	A1	19981118	EP 1997-901785	19970130 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1214632	A	19990421	CN 1997-193373	19970130 <--
HU 9901642	A2	19990928	HU 1999-1642	19970130 <--
HU 9901642	A3	20000728		
JP 09323932	A	19971216	JP 1997-18078	19970131 <--
NO 9803512	A	19980930	NO 1998-3512	19980730 <--
PRIORITY APPLN. INFO.:			JP 1996-14825 A	19960131
			WO 1997-JP218 W	19970130

AB Combined use of one or more drugs selected from among quinolonecarboxylic acid-based anti-HIV agents with one or more drugs selected from among reverse transcriptase inhibitors and HIV protease inhibitors for treating or preventing AIDS; and remedies or preventives for AIDS containing as the active ingredient one or more drugs selected from among quinolonecarboxylic acid-based anti-HIV agents together with one or more drugs selected from among reverse transcriptase inhibitors and HIV protease inhibitors. Preparation and formulation examples are given.
IT 153468-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(quinolonecarboxylic acid derivs. as remedies or preventives for AIDS)
RN 153468-78-1 CA
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-7-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 153468-78-1P 153468-83-8P 153468-87-2P
153468-88-3P 153468-89-4P 153468-90-7P
153468-91-8P 153468-92-9P 153468-93-0P
153468-94-1P 177360-65-5P 177360-66-6P
177360-67-7P 177360-68-8P 195048-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(quinolonecarboxylic acid derivs. as remedies or preventives for AIDS)

IT 153467-95-9P 153467-96-0P 153467-97-1P
153467-98-2P 153467-99-3P 153468-00-9P
153468-01-0P 153468-02-1P 153468-03-2P
153468-04-3P 153468-06-5P 153468-07-6P
153468-08-7P 153468-09-8P 153468-10-1P
153468-11-2P 153468-12-3P 153468-13-4P
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153468-24-7P 153468-26-9P 153468-27-0P
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153468-63-4P 153468-67-8P 153468-69-0P
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153468-73-6P 153468-74-7P 153468-75-8P
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177360-45-1P 177360-46-2P 177360-47-3P
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177360-51-9P 177360-52-0P 177360-53-1P
177360-54-2P 177360-55-3P 177360-56-4P
177360-57-5P 177360-58-6P 177360-60-0P
177360-61-1P 177360-63-3P 177360-64-4P
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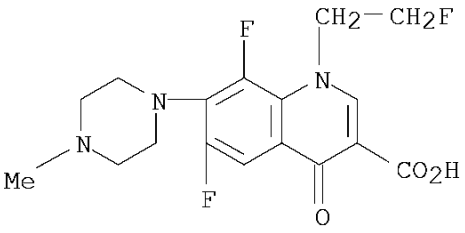
195048-55-6P 195048-56-7P 195048-57-8P
195048-58-9P 195048-60-3P 195048-61-4P
195048-62-5P 195048-65-8P 195048-66-9P
195048-67-0P 195048-68-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinolonecarboxylic acid derivs. as remedies or preventives for AIDS)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

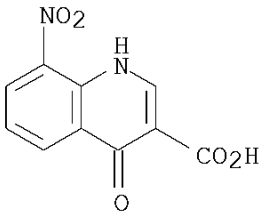
L6 ANSWER 40 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 127:90154 CA
ORIGINAL REFERENCE NO.: 127:17161a,17164a
TITLE: Potent and selective inhibition of human immunodeficiency virus type 1 transcription by piperazinyloxoquinoline derivatives
AUTHOR(S): Baba, Masanori; Okamoto, Mika; Makino, Masahiko; Kimura, Youichi; Ikeuchi, Tohru; Sakaguchi, Takanori; Okamoto, Takashi
CORPORATE SOURCE: Division Human Retroviruses, Center Chronic Viral Diseases, Faculty Medicine, Kagoshima University, Kagoshima, 890, Japan
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(6), 1250-1255
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have found novel piperazinyloxoquinoline derivs. to be potent and selective inhibitors of human immunodeficiency virus type 1 (HIV-1) replication in both acutely and chronically infected cells. 8-Difluoromethoxy-1-ethyl-6-fluoro-1,4-didehydro-7-[4-(2-methoxyphenyl)-1-piperazinyl]-4-oxoquinoline-3-carboxylic acid (K-12), the most potent congener of the series, completely inhibited HIV-1 replication in acutely infected MOLT-4 cells at a concentration of 0.16 to 0.8 μM without showing any cytotoxicity. The compound completely suppressed tumor necrosis factor alpha (TNF-α)-induced HIV-1 expression in latently infected cells (OM-10.1) and constitutive viral production in chronically infected cells (MOLT-4/IIIB) at a concentration of 0.8 μM. K-12 could also inhibit HIV-1 antigen expression in OM-10.1 and MOLT-4/IIIB cells at this concentration Northern blot anal. revealed that K-12 selectively prevented the accumulation of HIV-1 mRNA in MOLT-4/IIIB and TNF-α-treated OM-10.1 cells in a dose-dependent fashion. It was not inhibitory to HIV-1 Tat or the cellular transcription factors NF-κB and Sp1, suggesting that the piperazinyloxoquinoline derivs. are a group of HIV-1 transcription inhibitors with a unique mechanism of action.
IT 79660-72-3D, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(piperazinyloxoquinoline derivs. selective inhibition of HIV-1 transcription)
RN 79660-72-3 CA
CN 3-Quinolinecarboxylic acid, 6,8-difluoro-1-(2-fluoroethyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 79660-72-3D, derivs. 153468-00-9 153468-37-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(piperazinyloxoquinoline derivs. selective inhibition of HIV -1 transcription)
OS.CITING REF COUNT: 60 THERE ARE 60 CAPLUS RECORDS THAT CITE THIS RECORD (61 CITINGS)
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 126:220280 CA
ORIGINAL REFERENCE NO.: 126:42443a,42446a
TITLE: Depsides and Depsidones as Inhibitors of HIV -1 Integrase: Discovery of Novel Inhibitors through 3D Database Searching
AUTHOR(S): Neamati, Nouri; Hong, Huixiao; Mazumder, Abhijit; Wang, Shaomeng; Sunder, Sanjay; Nicklaus, Marc C.; Milne, George W. A.; Proksa, Bohumil; Pommier, Yves
CORPORATE SOURCE: Laboratories of Molecular Pharmacology and Medicinal Chemistry Division of Basic Sciences, National Cancer Institute, Bethesda, MD, 20892-4255, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(6), 942-951
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Seventeen lichen acids comprising depsides, depsidones, and their synthetic derivs. have been examined for their inhibitory activity against HIV-1 integrase, and two pharmacophores associated with inhibition of this enzyme have been identified. A search of the NCI 3D database of approx. 200 000 structures yielded some 800 compds. which contain one or the other pharmacophore. Forty-two of these compds. were assayed for HIV-1 integrase inhibition, and of these, 27 had inhibitory IC50 values of less than 100 μM; 15 were below 50 μM. Several of these compds. were also examined for their activity against HIV-2 integrase and mammalian topoisomerase I.
IT 83475-06-3, NSC 62811
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(depsides and depsidones as inhibitors of HIV-1 integrase and discovery of novel inhibitors through 3D database searching and identification of pharmacophores in relation to antiviral activity)

RN 83475-06-3 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-8-nitro-4-oxo- (CA INDEX NAME)



IT 83475-06-3, NSC 62811
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(depsides and depsidones as inhibitors of HIV-1 integrase and discovery of novel inhibitors through 3D database searching and identification of pharmacophores in relation to antiviral activity)

OS.CITING REF COUNT: 130 THERE ARE 130 CAPLUS RECORDS THAT CITE THIS RECORD (133 CITINGS)

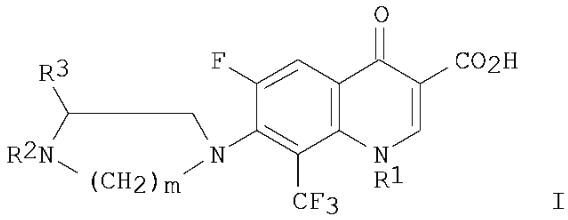
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 125:10854 CA
ORIGINAL REFERENCE NO.: 125:2384h,2385a
TITLE: Preparation of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivatives
INVENTOR(S): Kimura, Tomio; Katsube, Tetsushi; Nishigaki, Takashi
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan; Sankyo Co., Ltd.
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9602512	A1	19960201	WO 1995-JP1123	19950607 <--
W: AU, CA, CN, CZ, FI, HU, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2194435	A1	19960201	CA 1995-2194435	19950607 <--
AU 9526292	A	19960216	AU 1995-26292	19950607 <--
AU 683569	B2	19971113		
EP 773214	A1	19970514	EP 1995-921111	19950607 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1152916	A	19970625	CN 1995-194136	19950607 <--
HU 76643	A2	19971028	HU 1997-148	19950607 <--
RU 2140919	C1	19991110	RU 1997-102364	19950607 <--
JP 08183775	A	19960716	JP 1995-178771	19950714 <--
JP 2930539	B2	19990803		
NO 9700144	A	19970120	NO 1997-144	19970114 <--
NO 307704	B1	20000515		

FI 9700195	A	19970205	FI 1997-195		19970117 <--
US 6034086	A	20000307	US 1998-154464		19980916 <--
PRIORITY APPLN. INFO.:			JP 1994-165126	A	19940718
			WO 1995-JP1123	W	19950607

OTHER SOURCE(S): MARPAT 125:10854
GI



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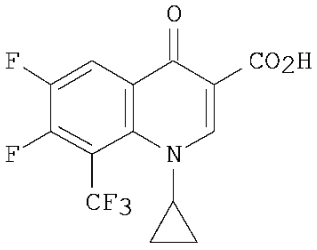
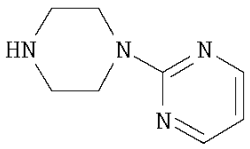


II



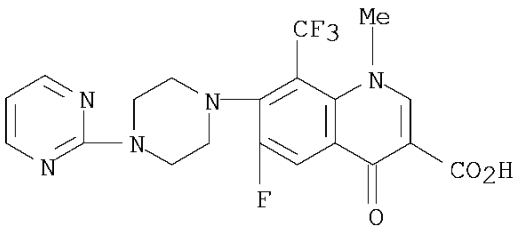
III

AB The title compds. [I; R1 = alkyl, (halo)alkyl, cycloalkyl; R2 = (substituted) Ph; (fused) 5- or 6-membered heteroarom., monocyclic group, etc.; R3 = H, alkyl; m = 2, 3], useful in inhibiting HIV, are prepared A mixture of 1.0 g difluoro compound II and 1.23 g piperazine derivative
III in pyridine was heated with stirring at 105° to give 0.68 g title compound I (R1 = cyclopropyl, R2 = 2-pyrimidinyl, R3 = H, m = 2). I showed EC50 of 0.005-0.02 μg/mL against HIV-induced injury of normal cells.

IT 177360-40-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivs.)

RN 177360-40-6 CA

CN 3-Quinolinecarboxylic acid, 6-fluoro-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyrimidinyl)-1-piperazinyl]-8-(trifluoromethyl)- (CA INDEX NAME)



IT 177360-40-6P 177360-41-7P 177360-42-8P
177360-43-9P 177360-44-0P 177360-45-1P
177360-46-2P 177360-47-3P 177360-48-4P
177360-49-5P 177360-50-8P 177360-51-9P
177360-52-0P 177360-53-1P 177360-54-2P
177360-55-3P 177360-56-4P 177360-57-5P
177360-58-6P 177360-59-7P 177360-60-0P
177360-61-1P 177360-63-3P 177360-64-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivs.)

IT 177360-65-5P 177360-66-6P 177360-67-7P
177360-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (trifluoromethyl)piperazinylquinolinecarboxylic acid derivs.)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

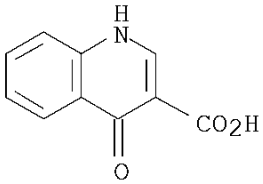
L6 ANSWER 43 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 124:193025 CA
ORIGINAL REFERENCE NO.: 124:35371a,35374a
TITLE: Tolerability of fluoroquinolone antibiotics: past, present and future
AUTHOR(S): Ball, Peter; Tillotson, Glenn
CORPORATE SOURCE: Infectious Diseases Unit, Victoria Hospital, Kirkcaldy/Fife, UK
SOURCE: Drug Safety (1995), 13(6), 343-58
CODEN: DRSAEA; ISSN: 0114-5916
PUBLISHER: Adis
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 130 refs. New fluoroquinolones have been in clin. use for 10 yr and have an excellent record of safety and tolerance. The main elements of their adverse reaction profile were predictable from human experience with precursor naphthyridines and quinolones, and from toxicol. studies in animals. Thus gastrointestinal reactions (1 to 5%), skin disturbances (less than 2.5%) and central nervous system (CNS) effects (usually around 1 to 2%) were anticipated. Individual group members exhibit particular properties in relation to their chemical structures, for example the phototoxicity associated with 8-halogenation of the nucleus and

found to be a particular problem with lomefloxacin and sparfloxacin. Other members, for example ofloxacin, are linked to a higher than usual incidence of CNS reactions and psychol. disturbance. However, despite increasing usage, none of the present group have been implicated in joint damage in children, which had been a major concern following reports of this effect in juvenile animals in chronic toxicity studies. Furthermore, i.v. formulations appear to have no associated increase in toxicity. Crystalluria with associated renal damage, originally thought likely to limit i.v. dosage, has not proved to be a problem in humans. Clin. significant interactions may occur but, as with those involving various NSAIDs and potentially leading to convulsions, they have been defined and are thus avoidable. Postmarketing surveillance studies and prescription event monitoring have largely confirmed the limited adverse reaction profile defined during clin. trials. However, some unexpected reactions have appeared after launch, most notably the episodes of hemolysis, renal failure and hypoglycemia which led to the withdrawal of temafloxacin. These effects have not been observed with other fluoroquinolones. However, severe tendonitis appears to be a group effect, albeit rare, and anaphylactoid reactions have been reported with several of the fluoroquinolone group, often in AIDS patients. The new fluoroquinolones are essentially a well tolerated group of antibacterials, the benefits of which clearly outweigh their disadvantages in a wide range of indications. Clin. efficacy has been a larger determinant of which members have succeeded in the marketplace than potential toxicity. However, the lesser potential for adverse effects of some of the class, e.g. norfloxacin, ofloxacin and ciprofloxacin, has undoubtedly led to their more widespread use. For others, e.g. enoxacin, limited clin. utility and a perception of increased toxicity have resulted in sidelining. There remains the potential for development of safer and yet more active fluoroquinolones via chemical manipulation both of the nucleus and the side chain substituents.

IT 13721-01-2D, derivs.
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Fluoro-; tolerability of fluoroquinolone antibiotics in humans)

RN 13721-01-2 CA

CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, derivs.
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Fluoro-; tolerability of fluoroquinolone antibiotics in humans)

OS.CITING REF COUNT: 82 THERE ARE 82 CAPLUS RECORDS THAT CITE THIS RECORD (82 CITINGS)

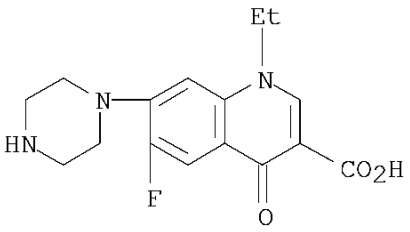
L6 ANSWER 44 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 123:329365 CA
ORIGINAL REFERENCE NO.: 123:58769a,58772a
TITLE: Emergence of multidrug resistance in Campylobacter jejuni isolates from three patients infected with human immunodeficiency virus
AUTHOR(S): Tee, Wee; Mijch, Anne; Wright, Edwina; Yung, Allen
CORPORATE SOURCE: Victorian Infectious Diseases Reference Laboratory, Fairfield Hospital, Fairfield, Australia
SOURCE: Clinical Infectious Diseases (1995), 21(3), 634-8
CODEN: CIDIEL; ISSN: 1058-4838
PUBLISHER: University of Chicago Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Single-drug resistance to tetracycline, doxycycline, erythromycin, or fluoroquinolones in Campylobacter isolates recovered from humans has been documented worldwide. Multidrug resistance to these antibiotics is rare in Campylobacter jejuni. We report the sequential development of multidrug resistance in C. jejuni isolates from three patients who were infected with human immunodeficiency virus. Multiple isolates recovered from stool specimens from these patients were ribotyped, and antibiotic susceptibility profiles were determined The results indicated that each patient was infected with a single strain of C. jejuni that had progressively acquired resistance to the antibiotics used during treatment. The emergence of resistant isolates appeared to correlate with clin. relapse. In these patients, campylobacter enteritis was prolonged, severe, and relapsing, and antimicrobial therapy was required. Once these first-line antibiotics become ineffective, few other antibiotics are available for treating patients with campylobacter enteritis. Acquisition of antibiotic resistance in C. jejuni is therefore of concern in these cases.

IT 70458-96-7, Norfloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multidrug resistance in Campylobacter jejuni isolates from humans infected with human immunodeficiency virus)

RN 70458-96-7 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(multidrug resistance in Campylobacter jejuni isolates from humans infected with human immunodeficiency virus)

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

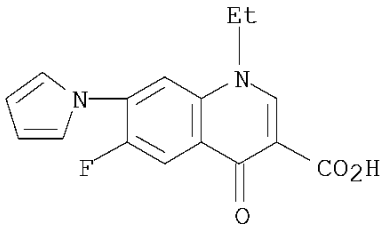
L6 ANSWER 45 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 122:310525 CA
ORIGINAL REFERENCE NO.: 122:56365a,56368a
TITLE: Preliminary study of the in vitro activity of irloxacin against mycobacteria
AUTHOR(S): Casal, M.; Gutierrez, J.; Ruiz, P.; Moreno, G.
CORPORATE SOURCE: Mycobacteria Reference Centre, Cordoba Univ., Cordoba, Spain
SOURCE: Chemotherapy (Basel) (1995), 41(3), 204
CODEN: CHTHBK; ISSN: 0009-3157
PUBLISHER: Karger
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Today Mycobacterium avium and Mycobacterium tuberculosis multidrug resistance are responsible for frequent and severe infections in humans and especially in AIDS patients. Irloxacin is a new quinolone derivate, and shows greater activity with an acid pH. It has a good in vitro antimicrobial spectrum against both gram-pos. and gram-neg. bacteria. We have compared the in vitro activity of irloxacin against mycobacteria (20 M. tuberculosis, 17 M. avium, 5 Mycobacterium bovis, 5 Mycobacterium chelonae, 5 Mycobacterium fortuitum and 1 Mycobacterium gadium) using the Bactec at pH 6.8 and 5.0, with other quinolones (ofloxacin, ciprofloxacin, pefloxacin and 27753 RP). All quinolones tested showed good activity against mycobacteria at pH 6.8 and 5.0. Irloxacin at pH 5.0 had a greater activity against M. avium.

IT 91524-15-1, Irloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preliminary study of in vitro activity of irloxacin against mycobacteria)

RN 91524-15-1 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1H-pyrrol-1-yl)- (CA INDEX NAME)



IT 91524-15-1, Irloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preliminary study of in vitro activity of irloxacin against mycobacteria)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

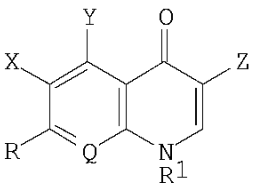
L6 ANSWER 46 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 121:57343 CA
ORIGINAL REFERENCE NO.: 121:10341a,10344a
TITLE: Preparation of aminoquinolone derivatives as anti-

HIV agents
INVENTOR(S): Kimura, Tomio; Katsube, Tetsushi
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 70 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 572259	A1	19931201	EP 1993-304139	19930527 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
NO 9301867	A	19931129	NO 1993-1867	19930524 <--
JP 06116241	A	19940426	JP 1993-122582	19930525 <--
JP 2993316	B2	19991220		
IL 105806	A	19980222	IL 1993-105806	19930525 <--
CA 2096998	A1	19931128	CA 1993-2096998	19930526 <--
HU 64051	A2	19931129	HU 1993-1536	19930526 <--
AU 9339815	A	19931202	AU 1993-39815	19930526 <--
AU 656859	B2	19950216		
RU 2124510	C1	19990110	RU 1993-35829	19930526 <--
ZA 9303732	A	19931215	ZA 1993-3732	19930527 <--
CN 1086515	A	19940511	CN 1993-108210	19930527 <--
CN 1042936	C	19990414		
US 5519016	A	19960521	US 1994-341295	19941115 <--
US 5688791	A	19971118	US 1995-526225	19950911 <--

PRIORITY APPLN. INFO.:	JP 1992-158912	A	19920527
	US 1993-66985	B1	19930525
	US 1994-341295	A3	19941115

OTHER SOURCE(S): CASREACT 121:57343; MARPAT 121:57343
GI



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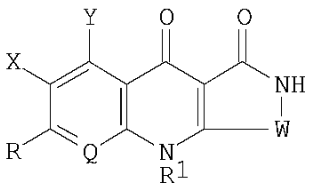
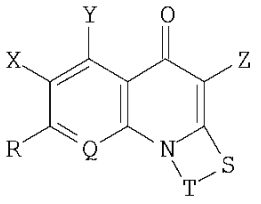


II



III

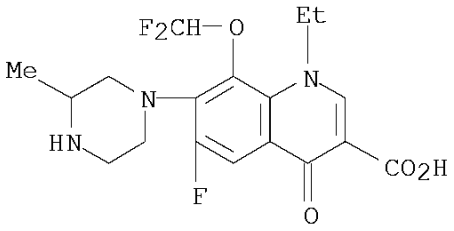
AB Title compds. I, II and III (X = H, halo, Y = H, halo, alkyl, (substituted) amino; Z = HO2C, 5-tetrazolyl; Q = N, R2C wherein R2 = H,

halo, (halo)alkoxy, (halo)alkyl; W = O, S; T = (alkyl) C1-4 alkylene, (alkyl) C2-4 alkenylene; R1 = H, (halo) alkenyl, alkynyl, (alkyl)amino, (halo) cycloalkyl, alkoxy, (substituted) aryl, (substituted) heterocyclyl, etc.) or a salt thereof, useful to inhibit HIV replication and as anti-AIDS agents are prepared To 1-ethyl-6,7-difluoro-8-(difluoromethoxy)-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and MeCOCH2CHMe2 was added F3B.Et2O to give the chelate derivative To DMSO was added the above chelate, 1-(2-methoxyphenyl)piperazine and Et3N to give I [X = F, Y = H, Z = HO2C, Q = F2CHOC, R = 4-(2-methoxyphenyl)piperazin-1-yl, R1 = Et] (II). The EC50 of II was 0.01 μg/mL with a selective index of 1000.

IT 138140-90-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of anti-HIV agents)

RN 138140-90-6 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-1-ethyl-6-fluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 138140-90-6P 153468-78-1P 153468-83-8P
153468-86-1P 153468-87-2P 153468-88-3P
153468-89-4P 153468-90-7P 153468-91-8P
153468-92-9P 153468-93-0P 153468-94-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of anti-HIV agents)

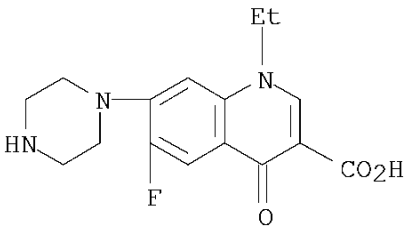
IT 153467-95-9P 153467-96-0P 153467-97-1P
153467-98-2P 153467-99-3P 153468-00-9P
153468-01-0P 153468-02-1P 153468-03-2P
153468-04-3P 153468-05-4P 153468-06-5P
153468-07-6P 153468-08-7P 153468-09-8P
153468-10-1P 153468-11-2P 153468-12-3P
153468-13-4P 153468-14-5P 153468-15-6P
153468-16-7P 153468-17-8P 153468-18-9P
153468-19-0P 153468-20-3P 153468-21-4P
153468-22-5P 153468-23-6P 153468-24-7P
153468-26-9P 153468-27-0P 153468-29-2P
153468-30-5P 153468-32-7P 153468-33-8P
153468-37-2P 153468-38-3P 153468-39-4P
153468-40-7P 153468-44-1P 153468-45-2P
153468-48-5P 153468-49-6P 153468-51-0P
153468-52-1P 153468-63-4P 153468-64-5P
153468-67-8P 153468-69-0P 153468-70-3P
153468-71-4P 153468-72-5P 153468-73-6P
153468-74-7P 153468-75-8P 153468-76-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anti-HIV agent)

IT 138140-76-8 153468-37-2 153468-78-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of anti-HIV agents)
OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)

L6 ANSWER 47 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 118:118317 CA
ORIGINAL REFERENCE NO.: 118:20413a
TITLE: Assays for factors that affect circularization and integration of DNA and purification and use of these factors
INVENTOR(S): Haseltine, William A.; Farnet, Christopher M.
PATENT ASSIGNEE(S): Dana Farber Cancer Institute, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

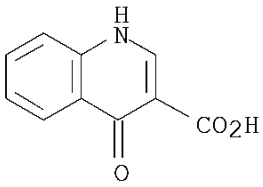
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9220813	A1	19921126	WO 1992-US4136	19920515 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5759768	A	19980602	US 1995-425726	19950420 <--
PRIORITY APPLN. INFO.:			US 1991-703180 A	19910517

AB Assay systems for the detection of DNA circularization and integration activities are described. These assays are used in the identification and purification of these factors and in the development of inhibitors of these processes that are therapeutically useful, e.g. in the treatment of viral infection. The sample is incubated with a suitable DNA substrate and necessary cofactors (nucleoside triphosphates, metal ions, salts) and the reaction products (integrates or circularized DNA) are assayed. Cytoplasmic exts. of SupT1 cells infected with HIV-1 were prepared and the integration of linear HIV-1 DNA into open circular ϕX174 DNA was followed by Southern blot and assay conditions optimized. The integration was dependent upon Mg2+, showed a preference for NaCl over KCl, was proteinase-sensitive and RNase-insensitive and required open circular DNA. The integration was also inhibited by the DNA topoisomerase inhibitor camptothecin. The use of the method to assay the integrase of HIV-1 was also demonstrated. The inhibition of the integrase by 8-azido-ATP by competition with the oligonucleotide substrate is also demonstrated.
IT 70458-96-7, Norfloxacin
RL: BIOL (Biological study)
(inhibition of DNA topoisomerase by, assay for, cytoplasmic exts. of retrovirus-infected cells for)
RN 70458-96-7 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin
RL: BIOL (Biological study)
(inhibition of DNA topoisomerase by, assay for, cytoplasmic exts. of retrovirus-infected cells for)
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

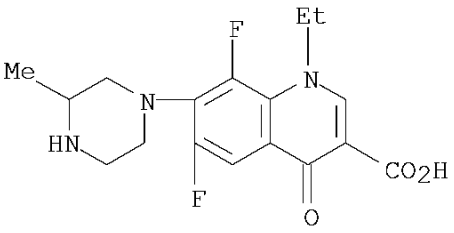
L6 ANSWER 48 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 118:78308 CA
ORIGINAL REFERENCE NO.: 118:13707a,13710a
TITLE: Pulmonary abscess due to a rifampin and fluoroquinolone resistant Rhodococcus equi strain in a HIV infected patient
AUTHOR(S): Nordmann, P.; Rouveix, E.; Guenounou, M.; Nicolas, M. H.
CORPORATE SOURCE: Dep. Microbiol., Hop. Raymond Poincare, Garches, 92380, Fr.
SOURCE: European Journal of Clinical Microbiology & Infectious Diseases (1992), 11(6), 557-8
CODEN: EJCDEU; ISSN: 0934-9723
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A pulmonary abscess caused by Rhodococcus equi was diagnosed in a patient with AIDS. Microbiol. examination of bronchoalveolar samples revealed the presence of the bacteria. Bacteriol. characterization revealed resistance to rifampin and fluoroquinolones and sensitivity to vancomycin and imipenem.
IT 13721-01-2D, fluoro derivs.
RL: BIOL (Biological study)
(Rhodococcus equi sensitivity to, lung abscess treatment in relation to, in human)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, fluoro derivs.

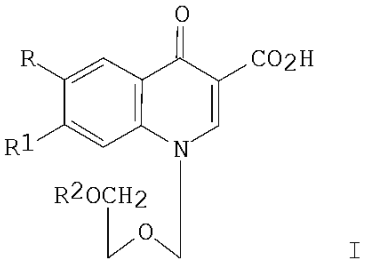
RL: BIOL (Biological study)
(Rhodococcus equi sensitivity to, lung abscess treatment in relation to, in human)
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 49 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 118:76931 CA
ORIGINAL REFERENCE NO.: 118:13419a,13422a
TITLE: In vitro activity of the new quinolone lomefloxacin against Mycobacterium tuberculosis
AUTHOR(S): Piersimoni, Claudio; Morbiducci, Valeria; Bornigia, Stefano; De Sio, Giuseppina; Scalise, Giorgio
CORPORATE SOURCE: Dep. Clin. Microbiol., Gen. Hosp. Umberto, Ancona, Italy
SOURCE: American Review of Respiratory Disease (1992), 146(6), 1445-7
CODEN: ARDSBL; ISSN: 0003-0805
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Minimal inhibitory concns. (MIC) of ciprofloxacin, ofloxacin, and lomefloxacin were determined for 90 M. tuberculosis strains isolated from both AIDS and other patients. Eleven (12.2%) of these strains showed in vitro resistance to one or more first-line antituberculosis drugs. Susceptibility tests were done in 7H12 broth by the radiometric method. The MIC range for ciprofloxacin was 0.125 to 4.0 μg/mL; for ofloxacin, 0.25 to 4.0; and for lomefloxacin, 0.5 to 4.0 μg/mL. The authors believe that the following MIC, when determined in 7H12 broth radiometrically, should be used as break points to classify the strain as susceptible: ciprofloxacin and ofloxacin, 1 μg/mL or less; lomefloxacin, 2 μg/mL or less. Lomefloxacin on a once-daily basis deserves further evaluation as a potential supplementary drug for the treatment of tuberculosis.
IT 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(Mycobacterium tuberculosis sensitivity to)
RN 98079-51-7 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(Mycobacterium tuberculosis sensitivity to)
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L6 ANSWER 50 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 117:131483 CA
ORIGINAL REFERENCE NO.: 117:22847a,22850a
TITLE: Synthesis and antiviral evaluation of new 4-quinolone acyclic nucleosides
AUTHOR(S): De la Cruz, Angeles; Elguero, Jose; Goya, Pilar; Martinez, Ana; Gotor, Vicente; Moris, Francisco; De Clercq, Erik
CORPORATE SOURCE: Inst. Quim. Med., Madrid, 28006, Spain
SOURCE: Journal of Chemical Research, Synopses (1992), (7), 216-17
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:131483
GI

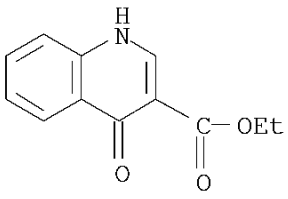


I

AB The first acyclic nucleosides, e.g. I [R-R2 = H; R = F, R1 = H, (II), Cl, OH, OMe), R2 = H], derived from the 4-quinolone derivs. have been synthesized using the silyl procedure for glycosidation. Lipase-mediated selective acylation of II afforded esters, e.g. I (R = F, R1 = H, R2 = Ac, EtCO, PrCO, MeCH:CHCO), in quant. yields. All the newly synthesized compds. showed no significant activity against HIV.

IT 52980-28-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with acetoxyethylacetoxymethyl ether)

RN 52980-28-6 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo-, ethyl ester (CA INDEX NAME)



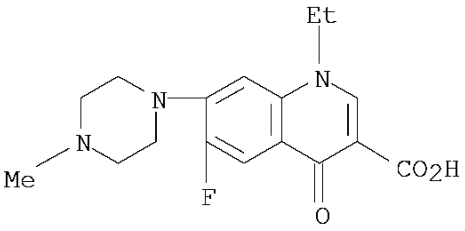
IT 52980-28-6 71083-00-6 75073-15-3
88569-32-8 121873-01-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with acetoxyethylacetoxymethyl ether)

IT 136471-87-9P 143231-24-7P 143231-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 143231-25-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
IT 136471-88-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regioselective esterification of, enzymic)
IT 136471-89-1P 136471-90-4P 136471-91-5P
136471-92-6P 136471-93-7P 136471-94-8P
136491-63-9P 143231-27-0P 143231-28-1P
143231-29-2P 143231-30-5P 143231-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L6 ANSWER 51 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 117:39866 CA
ORIGINAL REFERENCE NO.: 117:6847a,6850a
TITLE: Intracellular activity of zidovudine (3'-azido-3'-deoxythymidine, AZT) against Salmonella typhimurium in the macrophage cell line J774-2
AUTHOR(S): Herrmann, J. L.; Lagrange, P. H.
CORPORATE SOURCE: Lab. Cent. Microbiol., Hotel-Dieu Paris, Paris, 75004, Fr.
SOURCE: Antimicrobial Agents and Chemotherapy (1992), 36(5), 1081-5
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The antibacterial effect of zidovudine (AZT) has been demonstrated both in vitro and in vivo with exptl. models of gram-neg. bacterial infections. It has been associated with the absence or low occurrence of nontyphoid Salmonella infections in AIDS patients treated with AZT. Using the macrophage cell line J774-2, the inhibition of intracellular growth of Salmonella typhimurium by AZT was demonstrated. This effect is obtained with one-half of the MIC (1 μg/mL) of AZT for S. typhimurium. Inhibition of intracellular growth is observed after 4 h of incubation and persists at 24 h. Maximal inhibition is shown at a concentration of 128 μg/mL, and no further effect is observed with higher concns. When the inhibitory effect of AZT is compared with that of pefloxacin or that of ceftriaxone at half their MICs (0.2 and 0.02 μg/mL, resp.), AZT and pefloxacin give better results than ceftriaxone. In this study, using an intracellular model, AZT is shown to be able to inhibit the intracellular multiplication of S. typhimurium at a minimal effective concentration lower than the MIC, indicating its potential for antibacterial accumulation in the macrophages.
IT 70458-92-3, Pefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial activity of, against Salmonella typhimurium in

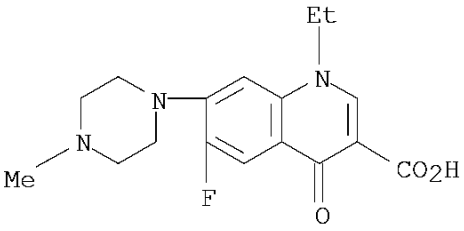
macrophages, zidovudine comparison with)
RN 70458-92-3 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 70458-92-3, Pefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial activity of, against Salmonella typhimurium in macrophages, zidovudine comparison with)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 52 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 117:4091 CA
ORIGINAL REFERENCE NO.: 117:839a,842a
TITLE: In-vitro antimicrobial susceptibility of Rhodococcus equi
AUTHOR(S): Nordmann, P.; Ronco, E.
CORPORATE SOURCE: Serv. Microbiol., Hop. Raymond Poincare, Garches, 92380, Fr.
SOURCE: Journal of Antimicrobial Chemotherapy (1992), 29(4), 383-93
CODEN: JACHDX; ISSN: 0305-7453
DOCUMENT TYPE: Journal
LANGUAGE: English
AB R. equi is an intracellular facultative, gram-pos. cocco-bacillary organism of increasing importance as a pulmonary pathogen in HIV -pos. patients. This study was carried out to evaluate the optimal antibiotic combinations for treating such infections. Four human R. equi isolates and one reference strain were tested for their susceptibilities to 36 antibiotics. In vitro the most active antibiotics were amikacin, gentamicin, netilmicin, erythromycin, clarithromycin, roxithromycin, ciprofloxacin, sparfloxacin, rifampicin, vancomycin, teicoplanin, doxycycline, minocycline, imipenem, meropenem, and trimethoprim/sulfamethoxazole. The only bactericidal antibiotics were the aminoglycosides, ciprofloxacin, sparfloxacin, and vancomycin. As determined by FIC indexes, four combinations were synergistic: rifampicin-erythromycin, rifampicin-minocycline, erythromycin-minocycline, and imipenem-amikacin. However, no antibiotic combinations were synergistic with the time-kill kinetic method at achievable serum concns. or at ten-fold and half-fold the MICs. Frequencies of selection of antibiotic-resistant mutants determined at concns. of five- and ten-fold the MICs ranged from <1 + 10-8 for erythromycin and trimethoprim/sulfamethoxazole to 5 + 10-4 for amikacin. These results may be of help in selecting the antibiotics for treating infected HIV-pos. patients.

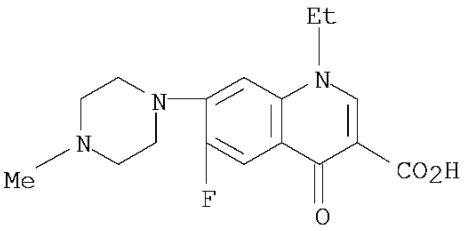
IT 70458-92-3, Pefloxacin
RL: BIOL (Biological study)
(sensitivity to, of Rhodococcus equi)
RN 70458-92-3 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 70458-92-3, Pefloxacin 98079-51-7, Lomefloxacin
RL: BIOL (Biological study)
(sensitivity to, of Rhodococcus equi)
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 53 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 116:247933 CA
ORIGINAL REFERENCE NO.: 116:41803a,41806a
TITLE: Role of mycoplasma infection in the cytopathic effect induced by human immunodeficiency virus type 1 in infected cell lines
AUTHOR(S): Lemaitre, M.; Henin, Y.; Destouesse, F.; Ferrieux, C.; Montagnier, L.; Blanchard, A.
CORPORATE SOURCE: Viral Oncol. Unit, Inst. Pasteur, Paris, 75724, Fr.
SOURCE: Infection and Immunity (1992), 60(3), 742-8
CODEN: INFIBR; ISSN: 0019-9567
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In addition to tetracycline analogs, other antibiotics (pefloxacin, minocycline, clindamycin, erythromycin, chloramphenicol, myocoplasma removal agent) known for antimycoplasmal activities inhibited the cytopathic effect in CEM cl13 cells infected with human immunodeficiency virus type 1 (HIV-1) or HIV-2 but were unable to block virus replication. A contaminating mycoplasma was isolated from the CEM cl13 cells and identified as a strain of Mycoplasma fermentans. Following infection of lymphoblastoid (CEM) or promonocytic (U937 and THP1) cell lines with HIV-1, cytopathic effect was observed only in association with mycoplasmal contamination. HIV-1 infection of U937 cells after exptl. inoculation with a human isolate of M. fermentans led to pronounced cell killing. This effect was not merely an artifact caused by arginine and/or glucose depletion in the cell culture medium. Mollicutes, in particular M. fermentans, are able to act synergistically with HIV-1 to kill infected cells in some in vitro systems.
IT 70458-92-3, Pefloxacin
RL: BIOL (Biological study)
(immunodeficiency virus cytotoxicity inhibition by, Mycoplasma fermentans interference in)
RN 70458-92-3 CA
CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-

piperazinyl)-4-oxo- (CA INDEX NAME)



IT 70458-92-3, Pefloxacin
RL: BIOL (Biological study)
(immunodeficiency virus cytotoxicity inhibition by, Mycoplasma fermentans interference in)
OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L6 ANSWER 54 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 116:124809 CA
ORIGINAL REFERENCE NO.: 116:21005a
TITLE: New mycoplasmas from human immunodeficiency virus (HIV)-infected patients, detection and characterizing mycoplasmas in vitro, and testing for antibiotic resistance
INVENTOR(S): Montagnier, Luc; Blanchard, Alain; Di Rienzo, Anne Marie; Guetard, Denise; Rame, Veronique
PATENT ASSIGNEE(S): Institut Pasteur, Fr.
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 457685	A1	19911121	EP 1991-401273	19910516 <--
R: AT, BE, CH, DE, DK, ES, GB, GR, IT, LI, LU, NL, SE				
FR 2662179	A1	19911122	FR 1990-6285	19900518 <--
FR 2662179	B1	19951006		
WO 9118112	A1	19911128	WO 1991-FR397	19910516 <--
W: CA, JP, US				
US 5677123	A	19971014	US 1995-487638	19950607 <--
US 5688646	A	19971118	US 1995-480055	19950607 <--
PRIORITY APPLN. INFO.:			FR 1990-6285 A	19900518
			US 1993-949502 B1	19930115

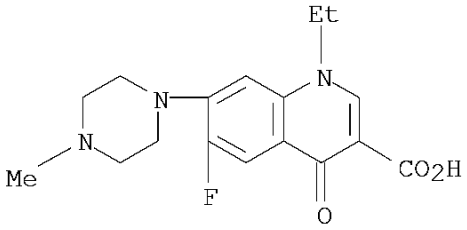
AB New mycoplasmas have been identified and characterized in HIV-infected patients. Also provided is a method for in vitro detection of mycoplasmas in a biol. fluid, using a reagent specific for a group of mycoplasmas, but not specific for particular species within the group. Means for testing of sensitivity of mycoplasmas to antibiotics is also disclosed. The mycoplasmas related by the intention are strain Mycloplasma ber (NCIMB number 40283) and Mycoplasma fermentans (NCIMB number 40284). Biol. properties of these 2 mycoplasmas are tabulated. Assays for mycoplasma detection include e.g. (1) incubation with normal

lymphocytes in the presence of radioactive uracil and (2) oligonucleotide probe assays. DNA from the above 2 mycoplasmas was cloned in plasmid Bluescript II (Stratogene) following digestion with Eco RI. Sequences of obtained clones allowed selection of specific primer sequences for polymerase chain reaction-mediated amplification (no sequences given).

IT 70458-92-3, Pefloxacine
RL: BIOL (Biological study)
(Mycoplasma pirum??? strain ber sensitivity to)

RN 70458-92-3 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)



IT 70458-92-3, Pefloxacine
RL: BIOL (Biological study)
(Mycoplasma pirum??? strain ber sensitivity to)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 55 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 115:4982 CA

ORIGINAL REFERENCE NO.: 115:974h,975a

TITLE: Antibacterial activity of fluoroquinolones in combination with zidovudine

AUTHOR(S): Lewin, C. S.; Allen, Ruth A.; Amyes, S. G. B.

CORPORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9AG, UK

SOURCE: Journal of Medical Microbiology (1990), 33(2), 127-31
CODEN: JMMIAV; ISSN: 0022-2615

DOCUMENT TYPE: Journal

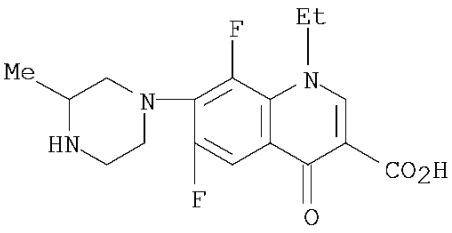
LANGUAGE: English

AB Since patients with AIDS may receive fluoroquinolones concurrently with zidovudine, the antibacterial interaction of these drugs was investigated. No evidence was found of antagonism between zidovudine and ciprofloxacin, DR-3355, enoxacin, lomefloxacin or ofloxacin against enterobacteria, staphylococci or Pseudomonas aeruginosa. Furthermore, the bactericidal activity of the fluoroquinolones against selected enterobacteria in nutrient broth was not affected by a clin. achievable concentration of zidovudine.

IT 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of, zidovudine effect on)

RN 98079-51-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6,8-difluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo- (CA INDEX NAME)

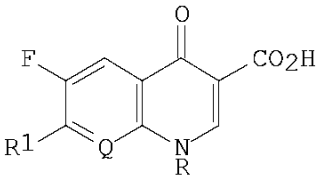


IT 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of, zidovudine effect on)
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 56 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 115:770 CA
ORIGINAL REFERENCE NO.: 115:155a,158a
TITLE: Virucidal fluoropyridone carboxylic acid derivatives for human immunodeficiency virus
INVENTOR(S): Ohta, Genkichi; Furusawa, Mitsuru; Nozaki, Junko; Iino, Takashi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 394553	A2	19901031	EP 1989-120396		19891103	<--
EP 394553	A3	19921209				
EP 394553	B1	19950621				
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE						
ZA 8908357	A	19900829	ZA 1989-8357		19891102	<--
CA 2002137	A1	19901028	CA 1989-2002137		19891102	<--
DK 8905458	A	19901029	DK 1989-5458		19891102	<--
AU 8944341	A	19901101	AU 1989-44341		19891102	<--
AU 638421	B2	19930701				
HU 53523	A2	19901128	HU 1989-5654		19891102	<--
HU 205717	B	19920629				
IL 92187	A	19940826	IL 1989-92187		19891102	<--
ES 2076190	T3	19951101	ES 1989-120396		19891103	<--
PRIORITY APPLN. INFO.:			JP 1989-110800	A	19890428	
			JP 1989-191062	A	19890724	

OTHER SOURCE(S): MARPAT 115:770
GI



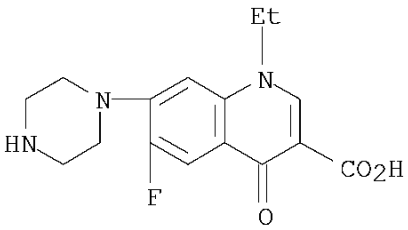
I

AB The title compds. I [R = alkyl, (halo)cyclopropyl, haloethyl, vinyl, Ph, halophenyl; R1 = (un)substituted N-containing hetercyclyl; Q = N, CR2; R2 = H, F, Cl, alkyl, etc.] are active against the human immunodeficiency virus (HIV). Ofloxacin (1-10 μg/mL) increased the cell count of HIV-infected human T cell-derived T4 antigen-pos. CEM cells in cultures.

IT 70458-96-7, Norfloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(virucide, against human immunodeficiency virus)

RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin 79660-72-3, Fleroxacin 98079-51-7, Lomefloxacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(virucide, against human immunodeficiency virus)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 57 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 115:768 CA

ORIGINAL REFERENCE NO.: 115:155a,158a

TITLE: Fluorinated pyridonecarboxylates as anti-HIV drugs

INVENTOR(S): Ohta, Genkichi; Furusawa, Mitsuru; Nozaki, Junko; Sato, Yosinari; Iino, Takashi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9013542	A1	19901115	WO 1990-JP571	19900427 <--
W: AU, CA, FI, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
ZA 8908357	A	19900829	ZA 1989-8357	19891102 <--
CA 2053926	A1	19901029	CA 1990-2053926	19900427 <--
AU 9054495	A	19901129	AU 1990-54495	19900427 <--
EP 470252	A1	19920212	EP 1990-906373	19900427 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 3016158	B2	20000306	JP 1990-506368	19900427 <--
RU 2044544	C1	19950927	RU 1991-5010230	19911025 <--
PRIORITY APPLN. INFO.:			JP 1989-110800 A	19890428
			JP 1989-191062 A	19890724
			JP 1990-42989 A	19900223
			WO 1990-JP571 A	19900427

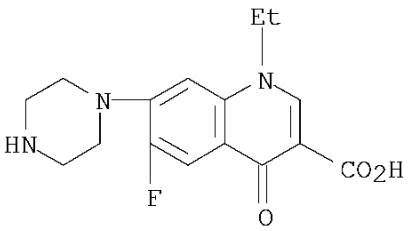
OTHER SOURCE(S): MARPAT 115:768

AB Fluorinated pyridonecarboxylic acid derivs. such as ofloxacin, levofloxacin, norfloxacin, enoxacin, ciprofloxacin, lomefloxacin, fleroxacin, difloxacin and tosufloxacin have anti-HIV activity and can be used for treating diseases caused by HIV. The anti-HIV activity is enhanced when these compds. are used in combination with azidothymidine, dideoxycytidine, or dideoxyinosine. Ofloxacin (5 μg/mL) added to HIV-infected CEM cell cultures increased theCEM cell survival rate by 97% when examined 30 days later. Pharmaceutical formulations are described.

IT 70458-96-7, Norfloxacin
RL: BIOL (Biological study)
(HIV inhibitor)

RN 70458-96-7 CA

CN 3-Quinolinecarboxylic acid, 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)- (CA INDEX NAME)



IT 70458-96-7, Norfloxacin 79660-72-3, Fleroxacin 98079-51-7, Lomefloxacin
RL: BIOL (Biological study)
(HIV inhibitor)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

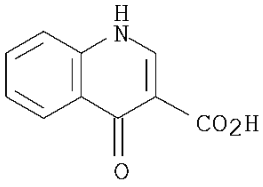
L6 ANSWER 58 OF 63 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 114:199106 CA

ORIGINAL REFERENCE NO.: 114:33349a,33352a

TITLE: Fluoroquinolones protect the human lymphocyte CEM cell line from HIV-1-mediated cytotoxicity

AUTHOR(S): Nozaki-Renard, Junko; Iino, Takashi; Sato, Yoshinari; Marumoto, Yasumasa; Ohta, Genkichi; Furusawa, Mitsuru
CORPORATE SOURCE: Dep. Microbiol., Tokyo Med. Coll., Tokyo, 160, Japan
SOURCE: Cell Structure and Function (1990), 15(5), 295-9
CODEN: CSFUDY; ISSN: 0386-7196
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Infection of the human lymphocyte CEM cell line with the HIV-1 (human immunodeficiency virus type-1, LAV-1 strain) results in cell death. A fluoroquinolone antibiotic, ofloxacin, protected the infected cells from HIV-1-mediated cytolysis. Other fluoroquinolones, e.g. ciprofloxacin, norfloxacin, and enoxacin, also protected the infected cells from HIV-1-mediated cytolysis. The d-isomer of ofloxacin (DR-3354) was about 50-fold less effective than the l-isomer (DR-3355). Almost none of the rescued cells had detectable HIV-antigens and they could be maintained for long periods in vitro without drugs.
IT 13721-01-2D, 1,4-Dihydro-4-oxo-3-quinoline carboxylic acid, fluoro derivs.
RL: BIOL (Biological study)
(HIV-1 cytotoxicity inhibition by, in human lymphocytes)
RN 13721-01-2 CA
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-4-oxo- (CA INDEX NAME)



IT 13721-01-2D, 1,4-Dihydro-4-oxo-3-quinoline carboxylic acid, fluoro derivs. 70458-96-7, Norfloxacin
RL: BIOL (Biological study)
(HIV-1 cytotoxicity inhibition by, in human lymphocytes)
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L6 ANSWER 59 OF 63 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 114:94680 CA
ORIGINAL REFERENCE NO.: 114:15939a,15942a
TITLE: Investigation of topoisomerase inhibitors for activity against human immunodeficiency virus: inhibition by coumermycin A1
AUTHOR(S): Tachedjian, G.; Tyssen, D.; Locarnini, S.; Gust, I.; Birch, C.
CORPORATE SOURCE: Macfarlane Burnet Cent. Med. Res., Fairfield Hosp., Fairfield, 3078, Australia
SOURCE: Antiviral Chemistry & Chemotherapy (1990), 1(2), 131-8
CODEN: ACCHEH; ISSN: 0956-3202
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Representative DNA gyrase inhibitors, eukaryotic topoisomerase I and II inhibitors and DNA cleaving or binding compds. were screened for their